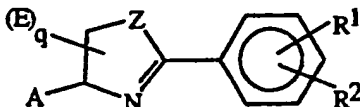




Alw

## INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

<p>(51) International Patent Classification <sup>6</sup> : C07D 263/28, A01N 43/76, C07D 263/16, 263/18, 277/12, A01N 43/78, C07D 263/10</p>	A1	<p>(11) International Publication Number: <b>WO 95/19972</b></p> <p>(43) International Publication Date: 27 July 1995 (27.07.95)</p>
<p>(21) International Application Number: PCT/US95/00208</p> <p>(22) International Filing Date: 17 January 1995 (17.01.95)</p> <p>(30) Priority Data: 08/185,550 24 January 1994 (24.01.94) US</p> <p>(60) Parent Application or Grant (63) Related by Continuation US 08/185,550 (CIP) Filed on 24 January 1994 (24.01.94)</p> <p>(71) Applicant (for all designated States except US): E.I. DU PONT DE NEMOURS AND COMPANY [US/US]; 1007 Market Street, Wilmington, DE 19898 (US).</p> <p>(72) Inventors; and (75) Inventors/Applicants (for US only): AMOO, Victor, Ekow [GH/US]; 127 Worral Drive, Newark, DE 19711 (US). LETT, Renee, Marie [US/US]; 4758 Weatherhill Drive, Wilmington, DE 19808 (US). CHIANG, George, Chihshu [US/US]; 12 Carillon Court, Wilmington, DE 19803-2900 (US).</p>		<p>(74) Agents: BLACK, Robert, W. et al.; E.I. du Pont de Nemours and Company, Legal/Patent Records Center, 1007 Market Street, Wilmington, DE 19898 (US).</p> <p>(81) Designated States: AM, AU, BB, BG, BR, BY, CA, CN, CZ, EE, FI, GE, HU, JP, KG, KP, KR, KZ, LK, LR, LT, LV, MD, MG, MN, MX, NO, NZ, PL, RO, RU, SI, SK, TJ, TT, UA, US, UZ, VN, European patent (AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG), ARIPO patent (KE, MW, SD, SZ).</p> <p><b>Published</b> With international search report.</p>
<p>(54) Title: ARTHROPODICAL 2-OXA AND THIA-ZOLINES</p> <p>(57) Abstract</p> <p>Arthropodical compounds, compositions and use of compounds having formula (I), wherein A, E, Z, R<sup>1</sup>, R<sup>2</sup> and q are as defined in the text.</p> <div style="text-align: right; margin-top: 20px;">  <p>(I)</p> </div>		

**FOR THE PURPOSES OF INFORMATION ONLY**

Codes used to identify States party to the PCT on the front pages of pamphlets publishing international applications under the PCT.

AT	Austria	GB	United Kingdom	MR	Mauritania
AU	Australia	GE	Georgia	MW	Malawi
BB	Barbados	GN	Guinea	NE	Niger
BE	Belgium	GR	Greece	NL	Netherlands
BF	Burkina Faso	HU	Hungary	NO	Norway
BG	Bulgaria	IE	Ireland	NZ	New Zealand
BJ	Benin	IT	Italy	PL	Poland
BR	Brazil	JP	Japan	PT	Portugal
BY	Belarus	KE	Kenya	RO	Romania
CA	Canada	KG	Kyrgyzstan	RU	Russian Federation
CF	Central African Republic	KP	Democratic People's Republic of Korea	SD	Sudan
CG	Congo	KR	Republic of Korea	SE	Sweden
CH	Switzerland	KZ	Kazakhstan	SI	Slovenia
CI	Côte d'Ivoire	LI	Liechtenstein	SK	Slovakia
CM	Cameroon	LK	Sri Lanka	SN	Senegal
CN	China	LU	Luxembourg	TD	Chad
CS	Czechoslovakia	LV	Latvia	TG	Togo
CZ	Czech Republic	MC	Monaco	TJ	Tajikistan
DE	Germany	MD	Republic of Moldova	TT	Trinidad and Tobago
DK	Denmark	MG	Madagascar	UA	Ukraine
ES	Spain	ML	Mali	US	United States of America
FI	Finland	MN	Mongolia	UZ	Uzbekistan
FR	France			VN	Viet Nam
GA	Gabon				

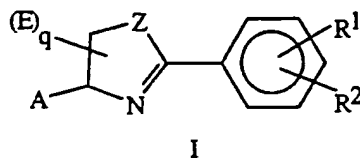
TITLE

## ARTHROPODICIDAL 2-OXA AND THIA-ZOLINES

The present invention comprises compounds useful for the control of arthropods. JP 05001060 discloses 4-thienyl-2-oxa(thia)zoline derivatives wherein the thienyl moiety is bonded directly to the oxa- or thia-zoline ring. U.S. 5,141,948 discloses oxa- and thia-zoline derivatives wherein the left hand phenyl ring is appended to the oxa- or thia-zoline ring either directly or through a lower alkylene bridge. Neither of these references suggests the compounds of the instant invention.

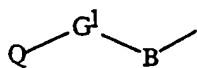
SUMMARY OF THE INVENTION

This invention pertains to compounds of Formula I, including all geometric and stereoisomers, agriculturally suitable salts thereof, agricultural compositions containing them and their use to control arthropods in both agronomic and nonagronomic environments. The compounds are:

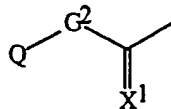


wherein:

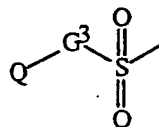
A is selected from the group



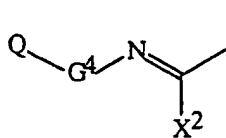
A-1



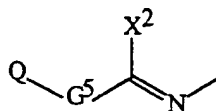
A-2



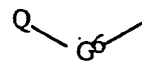
A-3



A-4



A-5



A-6

B is selected from the group O and N-Y;

E is selected from the group C<sub>1</sub>-C<sub>4</sub> alkyl and C<sub>1</sub>-C<sub>4</sub> haloalkyl;

X<sup>1</sup> and Z are independently selected from the group O and S;

X<sup>2</sup> is selected from the group H, halogen, C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>4</sub> haloalkyl, C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> haloalkoxy, C<sub>1</sub>-C<sub>4</sub> alkylthio, C(O)OR<sup>13</sup> and CN;

Y is selected from the group H, C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>1</sub>-C<sub>6</sub> haloalkyl, C<sub>2</sub>-C<sub>6</sub> alkenyl, C<sub>2</sub>-C<sub>6</sub> haloalkenyl, C<sub>2</sub>-C<sub>6</sub> alkynyl, C<sub>2</sub>-C<sub>6</sub> haloalkynyl, C<sub>3</sub>-C<sub>6</sub> cycloalkyl, C<sub>3</sub>-C<sub>6</sub> halocycloalkyl, C<sub>4</sub>-C<sub>7</sub> cycloalkylalkyl, CHO, C(O)R<sup>16</sup>, C(O)OR<sup>16</sup>, C(S)R<sup>16</sup>, C(S)OR<sup>16</sup>, C(S)SR<sup>16</sup>, C(O)C(O)OR<sup>16</sup>, C(O)CH<sub>2</sub>C(O)OR<sup>16</sup>, S(O)<sub>t</sub>R<sup>16</sup>, S(O)<sub>2</sub>CH<sub>2</sub>C(O)OR<sup>16</sup>, P(X)(OR<sup>18</sup>)<sub>2</sub>, S(O)<sub>t</sub>N(R<sup>13</sup>)C(O)OR<sup>12</sup>, S(O)<sub>t</sub>N(R<sup>14</sup>)R<sup>15</sup>, N=CR<sup>10</sup>R<sup>11</sup>, OR<sup>9</sup>, NR<sup>9</sup>R<sup>10</sup>; phenyl optionally substituted with 1-3 substituents independently selected from W<sup>1</sup>; and C<sub>1</sub>-C<sub>6</sub> alkyl substituted with 1-3 substituents independently selected from the group C<sub>1</sub>-C<sub>3</sub> alkoxy, C<sub>1</sub>-C<sub>3</sub> haloalkoxy, CN, NO<sub>2</sub>, S(O)<sub>t</sub>R<sup>16</sup>, P(X)(OR<sup>18</sup>)<sub>2</sub>, C(O)R<sup>16</sup>, C(O)OR<sup>16</sup> and phenyl optionally substituted with 1-3 substituents independently selected from W<sup>1</sup>;

X is selected from the group O and S;

G<sup>1</sup> is selected from the group single bond, C(=X<sup>1</sup>), C(=X<sup>1</sup>)N(Y), C(=X<sup>1</sup>)O and S(O)<sub>2</sub>;

G<sup>2</sup> is selected from the group single bond, O, S and N-Y;

G<sup>3</sup> is selected from the group single bond, O and N-Y;

G<sup>4</sup> is selected from the group single bond, O and N-Y;

G<sup>5</sup> is selected from the group single bond, O, S and N-Y;

G<sup>6</sup> is selected from the group C<sub>2</sub>-C<sub>4</sub> alkenylene, C<sub>2</sub>-C<sub>4</sub> alkynylene, O-C<sub>2</sub>-C<sub>4</sub> alkenylene and O-C<sub>2</sub>-C<sub>4</sub> alkynylene;

Q is selected from the group H and J; or Q is selected from the group C<sub>1</sub>-C<sub>16</sub> alkyl, C<sub>1</sub>-C<sub>16</sub> haloalkyl, C<sub>2</sub>-C<sub>16</sub> alkenyl, C<sub>2</sub>-C<sub>16</sub> haloalkenyl, C<sub>2</sub>-C<sub>16</sub> alkynyl, C<sub>2</sub>-C<sub>16</sub> haloalkynyl, C<sub>3</sub>-C<sub>7</sub> cycloalkyl, C<sub>3</sub>-C<sub>7</sub> halocycloalkyl and C<sub>4</sub>-C<sub>7</sub> cycloalkylalkyl, each group optionally substituted with 1-4 substituents independently selected from W;

J is a 5- or 6-membered aromatic ring containing 0 to 4 heteroatoms independently selected from the group 0-4 nitrogen, 0-1 oxygen, and 0-1 sulfur; or J is a 9- to 14-membered aromatic ring system selected from the group fused bicyclic ring and fused tricyclic ring, each ring system containing 0 to 6 heteroatoms independently selected from the group 0-4 nitrogen, 0-2 oxygen, and 0-2 sulfur; wherein J is optionally substituted with 1-4 substituents independently selected from the group R<sup>3</sup>;

R<sup>1</sup> is selected from the group halogen, C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>1</sub>-C<sub>6</sub> haloalkyl, C<sub>1</sub>-C<sub>6</sub> alkoxy, C<sub>1</sub>-C<sub>6</sub> haloalkoxy, S(O)<sub>t</sub>R<sup>16</sup>, CN and NO<sub>2</sub>;

R<sup>2</sup> is selected from the group H, halogen, C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>1</sub>-C<sub>6</sub> haloalkyl, C<sub>1</sub>-C<sub>6</sub> alkoxy, C<sub>1</sub>-C<sub>6</sub> haloalkoxy, S(O)<sub>t</sub>R<sup>16</sup>, CN and NO<sub>2</sub>;

R<sup>3</sup> is selected from the group halogen, C<sub>1</sub>-C<sub>16</sub> alkyl, C<sub>1</sub>-C<sub>16</sub> haloalkyl, C<sub>2</sub>-C<sub>16</sub> alkenyl, C<sub>2</sub>-C<sub>16</sub> haloalkenyl, C<sub>2</sub>-C<sub>16</sub> alkynyl, C<sub>2</sub>-C<sub>16</sub> haloalkynyl, C<sub>2</sub>-C<sub>16</sub> alkoxyalkyl, C<sub>2</sub>-C<sub>16</sub> alkylthioalkyl, C<sub>1</sub>-C<sub>16</sub> nitroalkyl, C<sub>2</sub>-C<sub>16</sub> cyanoalkyl, C<sub>3</sub>-C<sub>18</sub>

alkoxycarbonylalkyl, C<sub>3</sub>-C<sub>6</sub> cycloalkyl, C<sub>3</sub>-C<sub>6</sub> halocycloalkyl, CN, N<sub>3</sub>, SCN, NO<sub>2</sub>, SH, S(O)<sub>1</sub>R<sup>16</sup>, OCHO, OR<sup>20</sup>, CHO, C(O)R<sup>21</sup>, C(O)OR<sup>21</sup>, C(O)NR<sup>16</sup>R<sup>17</sup>, S(O)<sub>2</sub>NR<sup>16</sup>R<sup>17</sup>, C(R<sup>4</sup>)=NR<sup>9</sup>, N=CR<sup>4</sup>R<sup>9</sup>, NR<sup>16</sup>R<sup>17</sup>, NR<sup>17</sup>C(O)R<sup>16</sup>, NR<sup>17</sup>C(O)NHR<sup>16</sup>, NR<sup>17</sup>S(O)<sub>2</sub>R<sup>16</sup>, Si(R<sup>6</sup>)(R<sup>7</sup>)(R<sup>8</sup>), SF<sub>5</sub> and M-J<sup>1</sup>;

5 R<sup>4</sup> is selected from the group halogen, C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>1</sub>-C<sub>6</sub> haloalkyl, C<sub>1</sub>-C<sub>6</sub> alkoxy, C<sub>1</sub>-C<sub>6</sub> haloalkoxy and phenyl optionally substituted with R<sup>5</sup>;

R<sup>5</sup> is selected from the group halogen, CN, NO<sub>2</sub>, C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>1</sub>-C<sub>6</sub> haloalkyl, C<sub>1</sub>-C<sub>6</sub> alkoxy, C<sub>1</sub>-C<sub>6</sub> haloalkoxy, C(O)R<sup>16</sup>, C(O)OR<sup>16</sup> and Si(R<sup>6</sup>)(R<sup>7</sup>)(R<sup>8</sup>);

R<sup>6</sup> and R<sup>7</sup> are independently C<sub>1</sub>-C<sub>12</sub> alkyl;

10 R<sup>8</sup> is selected from the group C<sub>1</sub>-C<sub>12</sub> alkyl and phenyl optionally substituted with 1-3 substituents independently selected from W<sup>1</sup>;

R<sup>9</sup> is selected from the group H, C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>4</sub> haloalkyl, C<sub>2</sub>-C<sub>4</sub> alkenyl, C<sub>2</sub>-C<sub>4</sub> haloalkenyl, C<sub>2</sub>-C<sub>4</sub> alkynyl, C<sub>2</sub>-C<sub>4</sub> haloalkynyl, C(O)R<sup>16</sup>, C(O)OR<sup>16</sup>,

15 C(O)NR<sup>16</sup>R<sup>17</sup>, S(O)<sub>2</sub>NR<sup>16</sup>R<sup>17</sup>, S(O)<sub>2</sub>R<sup>16</sup>, optionally substituted phenyl, and optionally substituted benzyl wherein the phenyl and benzyl substituents are 1-3 substituents independently selected from W<sup>1</sup>;

R<sup>10</sup> is selected from the group H, C<sub>1</sub>-C<sub>4</sub> alkyl, C(O)R<sup>16</sup> and C(O)OR<sup>16</sup>;

R<sup>11</sup> is selected from the group H, C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>4</sub> haloalkyl and phenyl optionally substituted with 1-3 substituents independently selected from W<sup>1</sup>; or

20 R<sup>10</sup> and R<sup>11</sup> are taken together as (CH<sub>2</sub>)<sub>4</sub> or (CH<sub>2</sub>)<sub>5</sub>;

R<sup>12</sup> is C<sub>1</sub>-C<sub>18</sub> alkyl;

R<sup>13</sup> is C<sub>1</sub>-C<sub>4</sub> alkyl;

R<sup>14</sup> and R<sup>15</sup> are independently C<sub>1</sub>-C<sub>4</sub> alkyl; or

R<sup>14</sup> and R<sup>15</sup> are taken together as (CH<sub>2</sub>)<sub>4</sub>, (CH<sub>2</sub>)<sub>5</sub> or CH<sub>2</sub>CH<sub>2</sub>OCH<sub>2</sub>CH<sub>2</sub>;

25 R<sup>16</sup> is selected from the group C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>1</sub>-C<sub>6</sub> haloalkyl, C<sub>2</sub>-C<sub>6</sub> alkenyl, C<sub>2</sub>-C<sub>6</sub> haloalkenyl, C<sub>2</sub>-C<sub>6</sub> alkynyl, C<sub>2</sub>-C<sub>6</sub> haloalkynyl, C<sub>2</sub>-C<sub>6</sub> alkoxyalkyl, C<sub>2</sub>-C<sub>6</sub> alkylthioalkyl, C<sub>1</sub>-C<sub>6</sub> nitroalkyl, C<sub>2</sub>-C<sub>6</sub> cyanoalkyl, C<sub>3</sub>-C<sub>8</sub> alkoxycarbonylalkyl, C<sub>3</sub>-C<sub>6</sub> cycloalkyl, C<sub>3</sub>-C<sub>6</sub> halocycloalkyl, C<sub>4</sub>-C<sub>7</sub> cycloalkylalkyl, optionally substituted phenyl and optionally substituted benzyl wherein the phenyl and benzyl substituents are 1-3 substituents independently selected from W<sup>1</sup>;

30 R<sup>17</sup> is selected from the group H and C<sub>1</sub>-C<sub>4</sub> alkyl; or

R<sup>16</sup> and R<sup>17</sup>, when attached to the same atom, are taken together as (CH<sub>2</sub>)<sub>4</sub>, (CH<sub>2</sub>)<sub>5</sub> or CH<sub>2</sub>CH<sub>2</sub>OCH<sub>2</sub>CH<sub>2</sub>, each group optionally substituted with 1-3 CH<sub>3</sub>;

35 R<sup>18</sup> is selected from the group C<sub>1</sub>-C<sub>3</sub> alkyl and phenyl optionally substituted with 1-3 substituents independently selected from W<sup>1</sup>;

R<sup>19</sup> is selected from the group halogen, CN, NO<sub>2</sub>, C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>1</sub>-C<sub>6</sub> haloalkyl, OR<sup>9</sup>, C(O)R<sup>16</sup>, C(O)OR<sup>16</sup> and Si(R<sup>6</sup>)(R<sup>7</sup>)(R<sup>8</sup>);

R<sup>20</sup> is selected from the group H, C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>4</sub> haloalkyl, C<sub>2</sub>-C<sub>4</sub> alkenyl, C<sub>2</sub>-C<sub>4</sub> haloalkenyl, C<sub>2</sub>-C<sub>4</sub> alkynyl, C<sub>2</sub>-C<sub>4</sub> haloalkynyl, C(O)R<sup>16</sup>, C(O)OR<sup>16</sup>, C(O)NR<sup>16</sup>R<sup>17</sup>, S(O)<sub>2</sub>NR<sup>16</sup>R<sup>17</sup> and S(O)<sub>2</sub>R<sup>16</sup>;

R<sup>21</sup> is selected from the group C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>1</sub>-C<sub>6</sub> haloalkyl, C<sub>2</sub>-C<sub>6</sub> alkenyl, C<sub>2</sub>-C<sub>6</sub> haloalkenyl, C<sub>2</sub>-C<sub>6</sub> alkynyl, C<sub>2</sub>-C<sub>6</sub> haloalkynyl, C<sub>2</sub>-C<sub>6</sub> alkoxyalkyl, C<sub>2</sub>-C<sub>6</sub> alkylthioalkyl, C<sub>1</sub>-C<sub>6</sub> nitroalkyl, C<sub>2</sub>-C<sub>6</sub> cyanoalkyl, C<sub>3</sub>-C<sub>8</sub> alkoxy carbonylalkyl, C<sub>3</sub>-C<sub>6</sub> cycloalkyl, C<sub>3</sub>-C<sub>6</sub> halocycloalkyl and C<sub>4</sub>-C<sub>7</sub> cycloalkylalkyl;

M is selected from the group direct bond, S, O, C(O), C(O)-C<sub>1</sub>-C<sub>2</sub> alkylene, C(O)O-C<sub>1</sub>-C<sub>2</sub> alkylene, C<sub>1</sub>-C<sub>4</sub> alkylene, O-C<sub>1</sub>-C<sub>4</sub> alkylene, O-C<sub>2</sub>-C<sub>4</sub> alkenylene and O-C<sub>2</sub>-C<sub>4</sub> alkynylene; provided that when M is O-C<sub>1</sub>-C<sub>4</sub> alkylene, O-C<sub>2</sub>-C<sub>4</sub> alkenylene or O-C<sub>2</sub>-C<sub>4</sub> alkynylene, the oxygen atom is attached to the J ring; and when M is C(O)O-C<sub>1</sub>-C<sub>2</sub> alkylene, the C(O) is attached to the J ring;

J<sup>1</sup> is selected from the group phenyl and naphthyl, each optionally substituted with 1-4 substituents independently selected from R<sup>19</sup>; or J<sup>1</sup> is a 5- or 6-membered aromatic ring, attached through carbon or nitrogen, containing 1 to 4 heteroatoms independently selected from the group 1-4 nitrogen, 0-1 oxygen, and 0-1 sulfur, the ring optionally substituted with 1-4 substituents independently selected from R<sup>19</sup>;

W is selected from the group J, NO<sub>2</sub>, CN, OH, C<sub>1</sub>-C<sub>6</sub> alkoxy and C<sub>1</sub>-C<sub>6</sub> haloalkoxy;

W<sup>1</sup> is selected from the group, halogen, CN, NO<sub>2</sub>, C<sub>1</sub>-C<sub>2</sub> alkyl, C<sub>1</sub>-C<sub>2</sub> haloalkyl, C<sub>1</sub>-C<sub>2</sub> alkoxy, C<sub>1</sub>-C<sub>2</sub> haloalkoxy, C<sub>1</sub>-C<sub>2</sub> alkylthio, C<sub>1</sub>-C<sub>2</sub> haloalkylthio, C<sub>1</sub>-C<sub>2</sub> alkylsulfonyl, and C<sub>1</sub>-C<sub>2</sub> haloalkylsulfonyl;

q is 0, 1 or 2; and

t is 0, 1 or 2.

Preferred compounds A are compounds of Formula I wherein:

A is A-1;

Q is selected from the group J, C<sub>1</sub>-C<sub>16</sub> alkyl and C<sub>2</sub>-C<sub>16</sub> alkenyl; and

J is selected from the group phenyl and thienyl, each optionally substituted with 1-3 substituents independently selected from the group R<sup>3</sup>.

Preferred Compounds B are Compounds of Preferred A wherein:

Q is J; and

J is phenyl optionally substituted with 1-3 substituents independently selected from the group R<sup>3</sup>.

Preferred Compounds C are Compounds B wherein:

G<sup>1</sup> is C(O);

R<sup>1</sup> is selected from the group F and Cl in the 2-position;

R<sup>2</sup> is selected from the group H, F and Cl in the 6-position;

R<sup>3</sup> is independently selected from the group, halogen, C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>1</sub>-C<sub>6</sub> haloalkyl, OR<sup>20</sup> and M-J<sup>1</sup>;

R<sup>20</sup> is selected from the group C<sub>1</sub>-C<sub>4</sub> alkyl and C<sub>1</sub>-C<sub>4</sub> haloalkyl; and

J<sup>1</sup> is selected from the group phenyl, thienyl, pyridyl and furyl.

Specifically preferred for biological activity is Compound D of Preferred C which is:

*N*-[2-(2,6-difluorophenyl)-4,5-dihydro-4-oxazolyl]-2-fluoro-4-(trifluoro-methyl)benzamide.

Compounds of this invention can exist as one or more stereoisomers. The various stereoisomers include enantiomers, diastereomers and geometric isomers. One skilled in the art will appreciate that one stereoisomer may be more active and/or may exhibit beneficial effects when enriched relative to the other stereoisomer(s) or when separated from the other stereoisomer(s). Additionally, the skilled artisan knows how to separate said stereoisomers. Accordingly, the present invention comprises racemic mixtures, individual stereoisomers, and optically active mixtures of compounds of Formula I as well as agriculturally suitable salts thereof.

The terms "aromatic ring" and "aromatic ring system" are defined as those rings or ring systems which satisfy the Hückel rule. Examples include: a 5- or 6- membered monocyclic aromatic ring containing 0 to 4 heteroatoms such as phenyl, furyl, furazanyl, thienyl, pyrrolyl, pyrazolyl, oxazolyl, oxadiazolyl, imidazolyl, isoxazolyl, thiazolyl, thiadiazolyl isothiazolyl, tetrazolyl, pyridyl, pyrimidinyl, pyridazinyl, pyrazinyl and triazinyl with said ring attached through any available carbon or nitrogen. For example, when the aromatic ring system is furyl, it can be 2-furyl or 3-furyl, for pyrrolyl, the aromatic ring system is 1-pyrrolyl, 2-pyrrolyl or 3-pyrrolyl, for pyridyl, the aromatic ring system is 2-pyridyl, 3-pyridyl or 4-pyridyl and similarly for other monocyclic aromatic rings; fused carbobicyclic rings containing at least one phenyl ring, examples include naphthyl and tetralinyl; fused carbotricyclic ring containing at least one phenyl ring, examples include fluorenyl and phenanthrenyl; fused bicyclic rings containing 1 to 4 heteroatoms and 1 or 2 aromatic rings, examples include quinolyl, isoquinolyl, quinoxalyl, benzofuryl, isobenzofuranyl, benzothienyl, benzodioxolyl, chromanyl, indolinyl, isoindolyl, thienofuranyl, and purinyl; and fused tricyclic rings containing 1 to 6 heteroatoms and at least 1 aromatic ring, examples include acridinyl, phenanthridinyl, phenanthrolinyl, phenoxazinyl, and dibenzofuranyl. As with the monocyclic aromatic rings, the bicyclic and tricyclic aromatic ring systems can be attached through any available carbon or nitrogen, for example, for naphthyl, the carbobicyclic aromatic ring system is 1-naphthyl or 2-naphthyl, for benzofuryl, the aromatic ring system can be 2-, 3-, 4-, 5-, 6-, or 7-benzofuryl, for fluorenyl, the aromatic ring system can be 1-, 2-, 3-, 4-, or 9-fluorenyl and similarly for the other bicyclic and tricyclic aromatic ring systems.

In the above recitations, the term "alkyl", used either alone or in compound words such as "alkylthio" or "haloalkyl" denotes straight-chain or branched alkyl, such as, methyl, ethyl, *n*-propyl, *i*-propyl, or the different isomers through C<sub>16</sub>. Examples of "alkylene" include CH<sub>2</sub>, CH<sub>2</sub>CH<sub>2</sub>, CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub> and the different butylene isomers. "Alkenyl" denotes straight-chain or

branched alkenes such as ethenyl, 1-propenyl, 2-propenyl, and the different isomers through C<sub>16</sub>. "Alkenyl" also denotes polyenes such as 1,3-hexadiene. Examples of "alkenylene" include CH=CH, CH<sub>2</sub>CH=CH, CH=CHCH<sub>2</sub> and the different butenylene isomers. "Alkynyl" denotes straight-chain or branched alkynes such as ethynyl, 1-propynyl, 3-propynyl and the different isomers through C<sub>16</sub>. Examples of "alkynylene" include C≡C, CH<sub>2</sub>C≡C, C≡CCH<sub>2</sub> and the different butynylene isomers. "Alkoxy" denotes, for example, methoxy, ethoxy, *n*-propyloxy, isopropyloxy and the different butoxy, pentoxy and hexyloxy isomers. "Alkoxyalkyl" denotes alkoxy substitution on alkyl. Examples of "alkoxyalkyl" include CH<sub>3</sub>OCH<sub>2</sub>, CH<sub>3</sub>OCH<sub>2</sub>CH<sub>2</sub>, CH<sub>3</sub>CH<sub>2</sub>OCH<sub>2</sub>, CH<sub>3</sub>CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>OCH<sub>2</sub> and CH<sub>3</sub>CH<sub>2</sub>OCH<sub>2</sub>CH<sub>2</sub> and the different isomers through C<sub>16</sub>. "Alkylthio" denotes straight-chain or branched alkylthio moieties such as methylthio, ethylthio, and the different propylthio, butylthio, pentylthio and hexylthio isomers. "Alkylthioalkyl" denotes alkylthio substitution on alkyl. Examples of "Alkylthioalkyl" include CH<sub>3</sub>SCH<sub>2</sub>, CH<sub>3</sub>CH<sub>2</sub>SCH<sub>2</sub>, CH<sub>3</sub>SCH<sub>2</sub>CH<sub>2</sub>, CH<sub>3</sub>CH<sub>2</sub>CH<sub>2</sub>SCH<sub>2</sub>, CH<sub>3</sub>CH<sub>2</sub>SCH<sub>2</sub>CH<sub>2</sub> and the different isomers through C<sub>16</sub>. "Alkylsulfonyl" denotes CH<sub>3</sub>S(O)<sub>2</sub> and CH<sub>3</sub>CH<sub>2</sub>S(O)<sub>2</sub>.

"Cycloalkyl" denotes, for example, cyclopropyl, cyclobutyl, cyclopentyl, and cyclohexyl. Examples of "cycloalkylalkyl" include cyclopropylmethyl, cyclopropylethyl, cyclobutylmethyl and the different C<sub>6</sub> and C<sub>7</sub> isomers bonded to straight-chain or branched alkyl groups. "Alkoxycarbonylalkyl" denotes straight-chain or branched esters substituted on straight-chain or branched alkyl groups. Examples of "alkoxycarbonylalkyl" include CH<sub>2</sub>C(O)OCH<sub>3</sub>, CH<sub>2</sub>C(O)OCH<sub>2</sub>CH<sub>3</sub>, CH<sub>2</sub>CH<sub>2</sub>C(O)OCH<sub>3</sub> and the different isomers through C<sub>18</sub>. The term "halogen", either alone or in compound words such as "haloalkyl", denotes fluorine, chlorine, bromine or iodine. Further, when used in compound words such as "haloalkyl", said alkyl may be partially or fully substituted with halogen atoms which may be the same or different. Examples of "haloalkyl" include F<sub>3</sub>C, ClCH<sub>2</sub>, CF<sub>3</sub>CH<sub>2</sub> and CF<sub>3</sub>CCl<sub>2</sub>. Examples of "haloalkenyl" include (Cl)<sub>2</sub>C=CHCH<sub>2</sub> and CF<sub>3</sub>CH<sub>2</sub>CH=CHCH<sub>2</sub>. Examples of "haloalkynyl" include HC≡CCHCl, CF<sub>3</sub>C≡C, CCl<sub>3</sub>C≡C and FCH<sub>2</sub>C≡CCH<sub>2</sub>. Examples of "haloalkoxy" include CF<sub>3</sub>O, CCl<sub>3</sub>CH<sub>2</sub>O, CF<sub>2</sub>HCH<sub>2</sub>CH<sub>2</sub>O and CF<sub>3</sub>CH<sub>2</sub>O. Examples of "haloalkylthio" include CCl<sub>3</sub>S, CF<sub>3</sub>S, and CCl<sub>3</sub>CH<sub>2</sub>S. Examples of "haloalkylsulfonyl" include CF<sub>3</sub>SO<sub>2</sub>, CCl<sub>3</sub>SO<sub>2</sub>, CF<sub>3</sub>CH<sub>2</sub>SO<sub>2</sub> and CF<sub>3</sub>CF<sub>2</sub>SO<sub>2</sub>. The total number of carbon atoms in a substituent group is indicated by the "C<sub>i</sub>-C<sub>j</sub>" prefix where i and j are numbers from 1 to 18. For example, C<sub>1</sub>-C<sub>6</sub> alkyl designates methyl, ethyl, and propyl through hexyl isomers; C<sub>2</sub> alkoxy designates CH<sub>3</sub>CH<sub>2</sub>O-; and C<sub>3</sub> alkoxy designates CH<sub>3</sub>CH<sub>2</sub>CH<sub>2</sub>O- or (CH<sub>3</sub>)<sub>2</sub>CHO-. Nitroalkyl designates a straight or branched-chain alkyl group substituted with NO<sub>2</sub>. Cyanoalkyl designates a straight or branched-chain alkyl group substituted with CN.

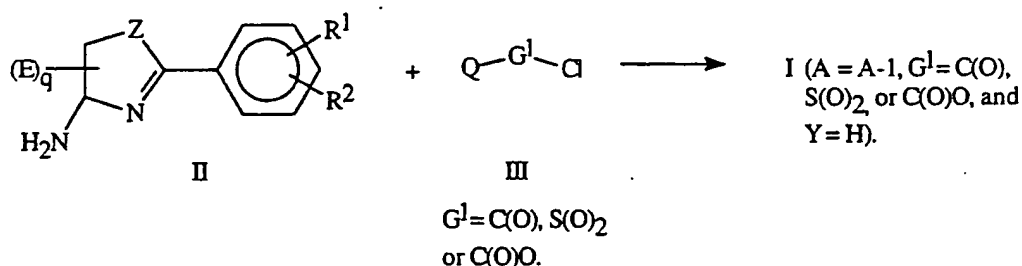
#### DETAILS OF THE INVENTION

Compounds of Formula I (where A is A-1, G<sup>1</sup> is C(O), S(O)<sub>2</sub> or C(O)O, and Y is H) can be prepared by condensation of a compound of Formula II and a compound of Formula III. This transformation is illustrated in Scheme 1. A generally useful method is the reaction of the



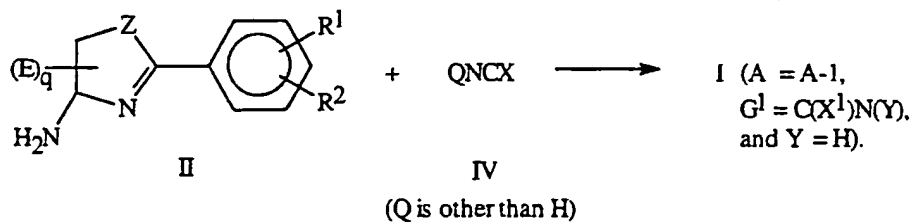
Formula II compound with the Formula III compound in the presence of an acid scavenger (usually a tertiary amine base such as triethylamine) at room temperature or below. The reaction can be carried out in an inert solvent such as methylene chloride, tetrahydrofuran, chloroform, toluene and other solvents that will not react with acid chlorides or bases. The reaction is normally completed in less than 24 h. Other useful methods for the formation of amides, sulfonamides and carbamates are discussed in Larock, *Comprehensive Organic Transformations*, VCH Publishers, Inc., New York.

Scheme 1

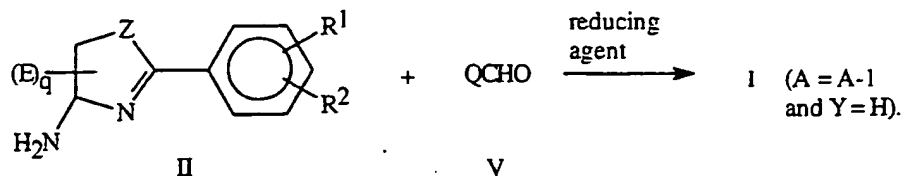


As illustrated in Scheme 2, compounds of Formula I (where A is A-1,  $G^I$  is  $C(X^1)N(Y)$  and Y is H) can be prepared by reaction of Formula II compounds with isocyanates of Formula IV. Typical reactions involve the combination of equimolar amounts of II and IV in an organic solvent such as ethyl acetate, methylene chloride, tetrahydrofuran, chloroform, benzene or toluene. A base such as an alkali metal, tertiary amine, alkali metal hydroxide or metal hydride can be used. The reaction can be run at temperatures ranging from about -20-100 °C with temperatures in the range of -10-30 °C being preferred. The reaction is completed within 24 h.

Scheme 2

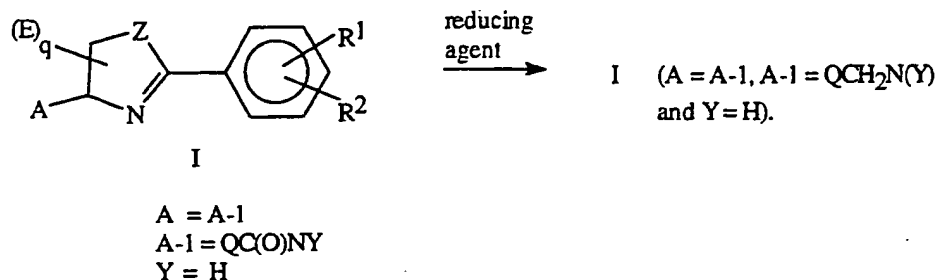


Compounds of Formula I (where A is A-1 and Y is H) can be prepared by reaction of a compound of Formula II with an aldehyde of Formula V as shown in Scheme 3. This reaction can be carried out in a solvent such as methanol or ethanol in the presence of a reducing agent such as sodium borohydride or sodium cyanoborohydride (Borch et al., *J. Am. Chem. Soc.* (1971), 93, 2897). The reaction temperature can vary from -30-200 °C and the reaction is completed in about 2-72 h.

Scheme 3

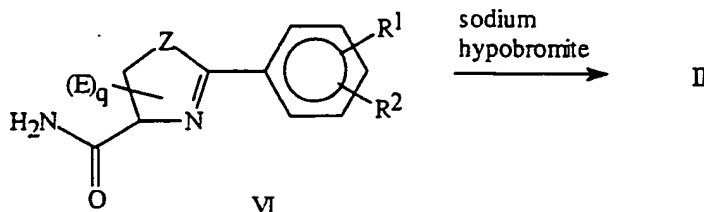
Alternatively, Formula I compounds (where A is A-1, A-1 is  $\text{QCH}_2\text{N}(\text{Y})$  and Y is H) can be prepared by the reduction of compounds of Formula I (where A is A-1, A-1 is  $\text{QC}(\text{O})\text{N}(\text{Y})$  and Y is H) as shown in Scheme 4. Useful reducing agents are alkali metal hydrides. For example, treatment of a compound of Formula I (A is A-1, G<sup>1</sup> is C(O) and Y is H) with lithium aluminum hydride at 0-50 °C in ethereal solvents such as tetrahydrofuran, ether or dimethoxyethane yields compounds of Formula I (A is A-1 and Y is H). The reduction is usually completed in 24 h. For alternative methods of reduction of amides to amines, see

10 March, *Advanced Organic Chemistry* 3rd Ed., (1985), pp 1099-1100.

Scheme 4

Compounds of Formula II can be prepared by the reaction of compounds of Formula VI with sodium hypobromite (or sodium hydroxide and bromine). This transformation is shown in Scheme 5. A review of the Hofmann rearrangement can be found in *Org. Rxns.* (1946), 3, pp. 267-306. A typical reaction involves the addition of a compound of Formula VI to an aqueous solution of sodium hypobromite. The temperature of the reaction can range from 0-200 °C with the preferred temperature range between 30-100 °C. The reaction is usually complete in 24 h. Alternatively, the transformation can be accomplished by treating a

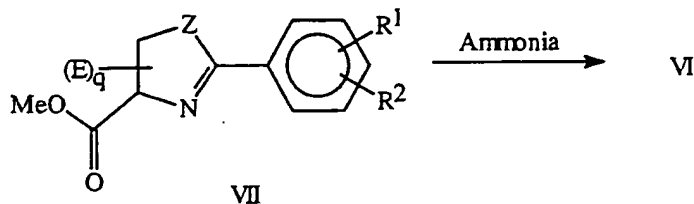
20 Formula VI compound with [hydroxy(tosyloxy)iido]benzene in refluxing acetonitrile. (See *J. Org. Chem.* (1986), 51, pp 2669-2671).

Scheme 5

Compounds of Formula VI can be prepared by reacting compounds of Formula VII with ammonia. This transformation is shown in Scheme 6. The reaction can be run in solvents such as methanol, ethanol, ether, benzene and toluene. Typical reactions are carried out at ambient temperature, and reactions are usually completed in 24 h. For reference, see D. W. Jones, *J.*

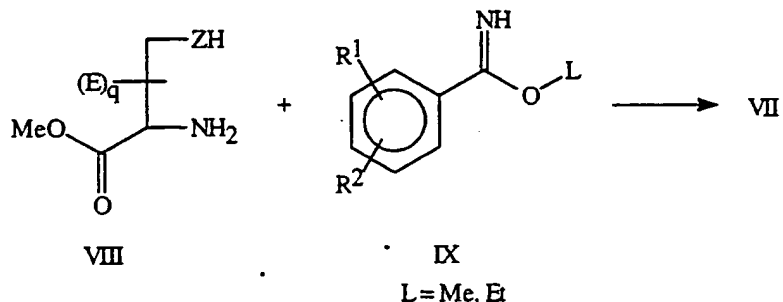
5 *Chem. Soc.* (1969), 1729.

Scheme 6



Compounds of Formula VII can be prepared by the reaction of commercially available serine derivatives (Formula VIII) with an imidate of Formula IX as shown in Scheme 7. The reaction can be carried out in solvents such as methanol, methylene chloride, chloroform, benzene, dioxane and tetrahydrofuran. Water can be added as a cosolvent. The reaction can be carried out at temperatures varying from 0 °C to the reflux temperature of the particular solvent being used, and the reaction is usually complete in 24 h. For reference, see *Agric. Biol. Chem.* (1986), 50, pp 615-623. One skilled in the art would recognize that this transformation can be extended to the preparation of compounds where A=A-3.

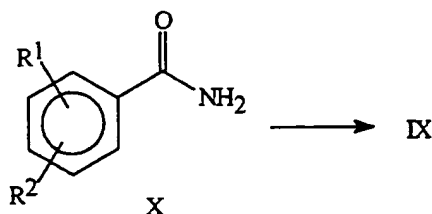
Scheme 7



As depicted in Scheme 8, imidates of Formula IX can be prepared from commercially available amides of Formula X by reaction with a trialkyloxonium tetrafluoroborate in an inert solvent such as methylene chloride, benzene or toluene. The syntheses of imidates has been extensively reviewed by D. A. Neilson in *The Chemistry of Amidines and Imidates*, Patai and Rappoport, Eds., Vol. 2, (1991), pp 425-483.

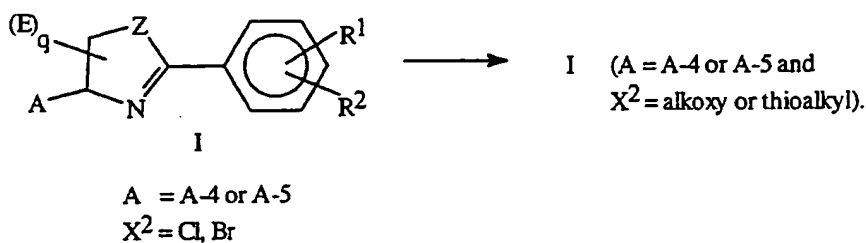
10

Scheme 8



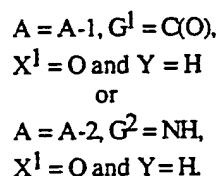
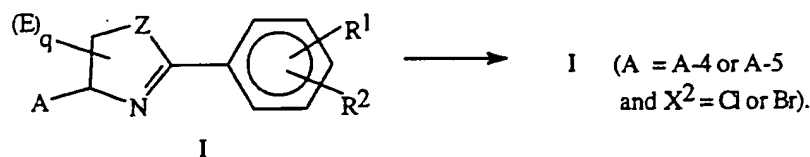
Compounds of Formula I (where A is A-4 or A-5 and X<sup>2</sup> is alkoxy or thioalkyl) can be prepared by the reaction of Formula I compounds (where A is A-4 or A-5 and X<sup>2</sup> is Cl or Br) with sulfur or oxygen nucleophiles as illustrated in Scheme 9. Typical reactions involve the combination of equimolar amounts of the reactants in the presence of a base such as an alkali metal, tertiary amine, metal hydride and the like in conventional organic solvents including ether, tetrahydrofuran, 1,2-dimethoxyether, methylene chloride, chloroform, *N,N*-dimethylformamide and dimethylsulfoxide. The reaction can be conducted at temperatures ranging from -20-100 °C with temperatures in the range of -10-30 °C preferred. One skilled in the art will recognize that the reactions of this general type can be extended to other nucleophilic reagents.

Scheme 9



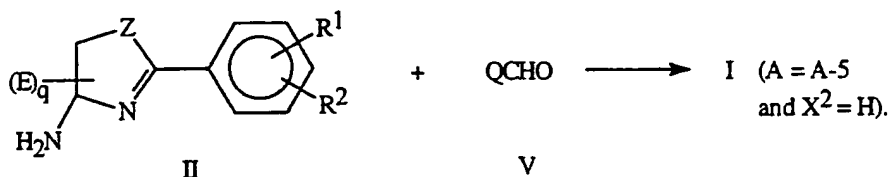
As illustrated in Scheme 10, compounds of Formula I (where A is A-4 or A-5 and X<sup>2</sup> is Cl or Br) can be prepared by the reaction of Formula I compounds (where A is A-1 or A-2, G<sup>1</sup> is C(O) or G<sup>2</sup> = NH, X<sup>1</sup> is O, and Y is H, ) with an appropriate halogenating agent such as phosphorous trichloride, phosphorous tribromide, phosphorous pentachloride, phosphorous pentabromide, thionyl chloride, thionyl bromide, sulfuryl chloride, triphenylphosphorous and carbon tetrachloride or carbon tetrabromide (Wolkoff, *Can. J. Chem.* (1975), 53, 1333). Typical reactions involve combination of the reactant with an excess of halogenating agent in the presence or absence of an organic solvent such as benzene, toluene, xylene, chloroform, methylene chloride and hexane. The preferred reaction temperature ranges from 35-100 °C and the reaction is generally complete within 24 h.

## Scheme 10



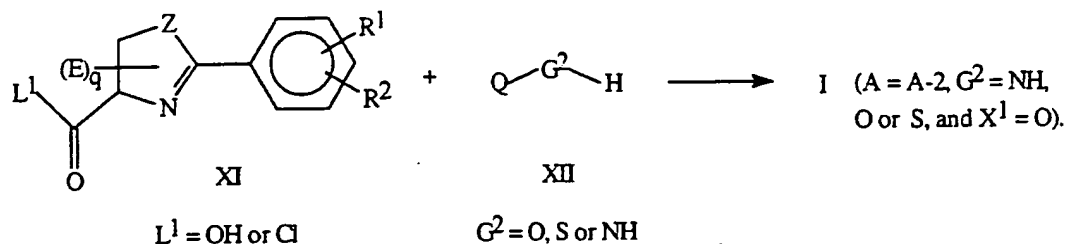
Compounds of Formula I (where A is A-5 and X<sup>2</sup> is H ) can be prepared by reaction of Formula II compounds with Formula V compounds. Typical reactions include the combination of equimolar amounts of Formula II compounds with Formula V compound in a suitable solvent such as acetonitrile, methanol, ethanol or benzene. The reaction can be run in the presence or absence of an acid catalyst. Typical acid catalysts include alkyl or arylsulfonic acids and mineral acids such as hydrochloric acid. The reaction temperature can vary from 0 °C to the reflux temperature of the particular solvent being used. Scheme 11 illustrates this transformation. The reaction is normally completed within 24 h.

## Scheme 11

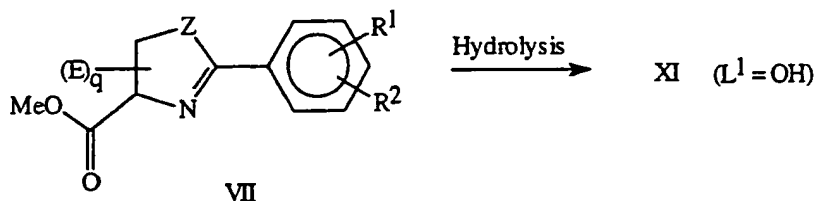


Compounds of Formula I (where A is A-2, G<sup>2</sup> is O, S or NH and X<sup>1</sup> is O) can be prepared by condensation of Formula XI compounds with Formula XII compounds. A generally useful method is treatment of an acid chloride of Formula XI with an amine, alcohol or thiol of Formula XII in the presence of an acid scavenger such as triethylamine at room temperature or below. The reaction can be carried out in an inert solvent such as methylene chloride, tetrahydrofuran, toluene, benzene and chloroform. An alternative method is treatment of an acid of Formula XI with a Formula XII compound in the presence of a condensation reagent such as dicyclohexylcarbodiimide in a suitable solvent such as methylene chloride, chloroform, tetrahydrofuran, toluene, dimethylformamide and ethyl acetate. The temperature can range from 0-200 °C with the preferred temperature range from 20-100 °C. The reaction can be run in the presence of a catalyst such as dimethylaminopyridine (see *Synthesis* (1972), 453) and is completed in 30 min to 48 h.

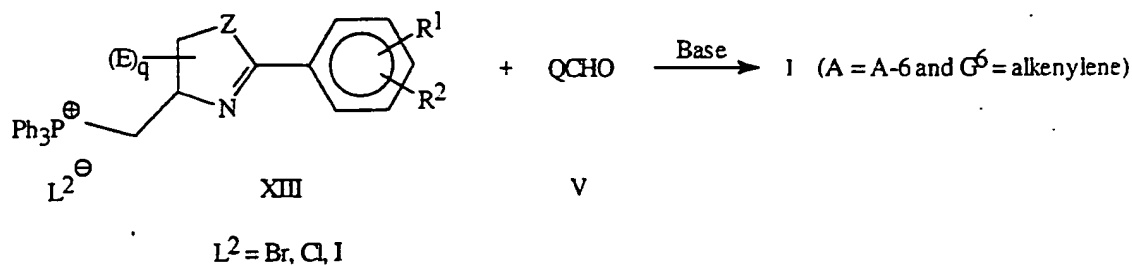
12

Scheme 12

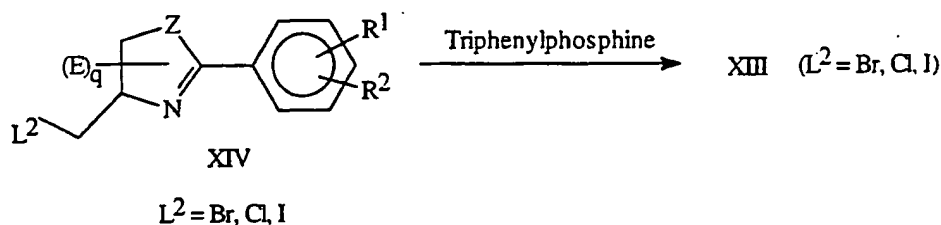
Compounds of Formula XI (where  $\text{L}^1$  is OH) can be prepared by hydrolysis of a Formula VII compound as shown in Scheme 13. Typical reactions involve treating Formula VII compounds with a base such as sodium hydroxide or potassium hydroxide in a suitable solvent such as water, methanol or ethanol. The reaction temperature can vary from 0 °C to the reflux temperature of the particular solvent being used. Hydrolysis of esters have been thoroughly discussed in March, *Advanced Organic Chemistry*, 3rd Ed., (1985), pp 334-338. Typical reactions are completed in less than 24 h.

Scheme 13

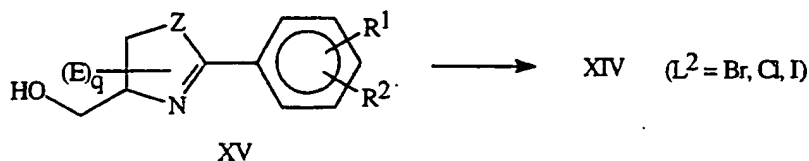
Compounds of Formula I (where A is A-6 and  $\text{G}^6$  is alkenylene) can be prepared by reaction of compounds of Formula XIII with an aldehyde of Formula V in the presence of a strong base. This transformation is shown in Scheme 14. A typical reaction involves mixing a compound of Formula XIII with a strong base such as an alkyl lithium (e.g., butyllithium), a metal alkoxide (e.g., sodium methoxide), sodium amide or sodium hydride in a suitable solvent such as tetrahydrofuran, ether, benzene, methanol, ethanol, toluene, dimethoxyethane and dimethylsulfoxide, followed by the addition of an aldehyde of Formula V. The temperature of the reaction can vary from -70-200 °C. The Wittig reaction has been reviewed by Maercker in *Org. Rxns.* (1965), 14, pp 270-490. The reaction is complete in 1-48 h.

Scheme 14

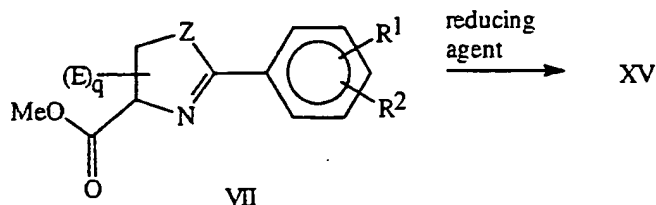
Formula XIII compounds can be prepared by reaction of equimolar amounts of a Formula XIV compound and triphenylphosphine. The transformation is shown in Scheme 15. The reaction can be run in a solvent such as benzene, toluene, xylene, ether, tetrahydrofuran, nitromethane, nitrobenzene, acetonitrile, ethyl acetate and dimethylformamide. The reaction temperature can vary from 0-200°C. For details see Maercker, *Org. Rxn.* (1965), 14, pp 270-490. The reaction is completed in 24 h.

Scheme 15

Compounds of Formula XIV can be prepared from compounds of XV as shown in Scheme 16. The reaction involves combination of an alcohol of Formula XV with a halogenating agent such as triphenylphosphine and carbon tetrachloride or carbon tetrabromide, triphenylphosphine, imidazole and iodine in a suitable solvent such as acetonitrile or methylene chloride. (Hooz et al., *Can. J. Chem.* (1968), 46, 86; Lange et al., *Syn. Commun.* (1990), 20, 1473). The reaction temperature may vary from 0-100 °C and is usually completed in 24 h.

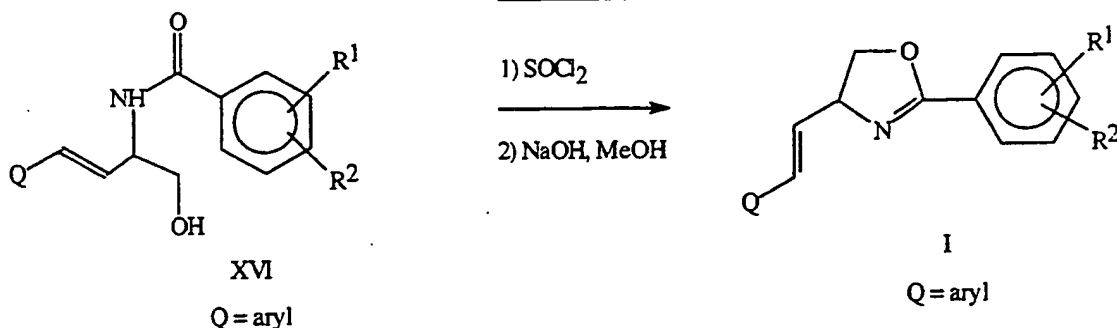
Scheme 16

Compounds of Formula XV can be prepared by reduction of esters of Formula VII with alkali metal hydrides (Scheme 17). The reaction conditions are as described for Scheme 4.

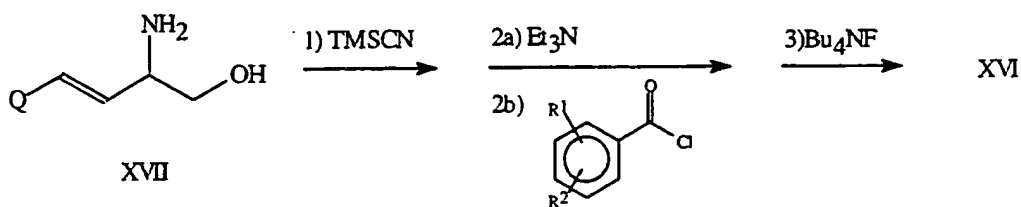
Scheme 17

Compounds of Formula I (where A is A-6, G<sup>6</sup> is C<sub>2</sub> alkylene, and Q is aryl) can be prepared by reaction of a compound of Formula XVI, first with thionyl chloride and then with sodium hydroxide in methanol as shown in Scheme 18. A typical reaction involves mixing a

- compound of Formula XVI with thionyl chloride neat or with a suitable solvent such as toluene or carbon tetrachloride. The mixture is heated from 30-100°C for 0.25-4 h. The solvent and excess thionyl chloride are concentrated under vacuum and the resultant crude chloride is dissolved in methanol and treated with aqueous sodium hydroxide. This second reaction is then heated for 0.25-4 h at 30-65°C. Extraction of the cooled reaction mixture with an organic solvent enables the isolation of the product of Formula I where A is A-6 and G<sup>6</sup> is C<sub>2</sub> alkylene.

Scheme 18

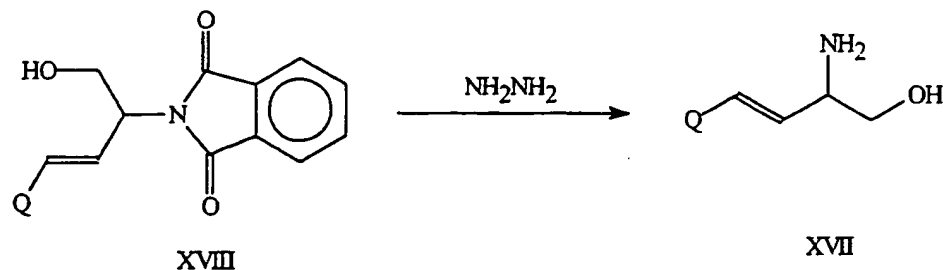
- Compounds of Formula XVI can be prepared from compounds of Formula XVII as shown in Scheme 19. Amino alcohols of Formula XVII are first converted to silyl ethers by reaction with trimethylsilyl cyanide at 0-30°C in a suitable solvent such as methylene chloride, chloroform, or tetrahydrofuran. (The alcohol group in compounds of Formula XVII is protected as the silyl ether so it does not react with the benzoyl chloride in the next step.) After 15-60 min, the solution of silyl ether is treated with an organic base like triethylamine and then with an appropriate benzoyl chloride at 0-30°C for 0.5-4 h. The crude intermediate amide can be isolated by addition of water and extraction with an appropriate organic solvent. The silyl ether protecting group is removed by treatment of the crude intermediate (dissolved in an appropriate solvent like tetrahydrofuran) with a solution of tetrabutylammonium fluoride at 0-30°C for 5-60 min. Compounds of Formula XVI are then isolated by addition of water and extraction with an organic solvent like ethyl acetate.

Scheme 19

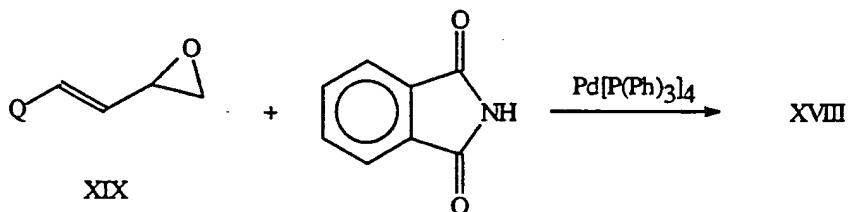
- Compounds of Formula XVII can be prepared from compounds of Formula XVIII as shown in Scheme 20. A typical reaction involves treatment of a phthalimide of Formula XVIII, dissolved in an appropriate solvent like methanol or ethanol, with an excess of hydrazine at 40-80°C for 0.5-8 h.



15

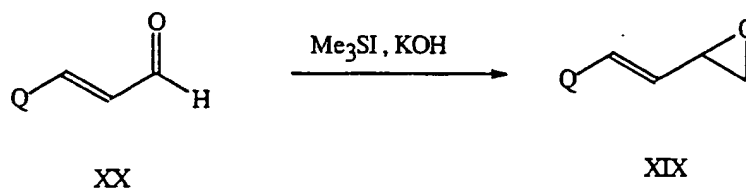
Scheme 20

Compounds of Formula XVIII can in turn be prepared from compounds of Formula XIX as shown in Scheme 21. An epoxide of Formula XIX is dissolved in an appropriate solvent like tetrahydrofuran and treated with one equivalent of phthalimide and a catalytic amount (10 mol %) of an appropriate palladium catalyst like tetrakis-(triphenylphosphine) palladium (0) at 15-35°C for 0.5-4 h.

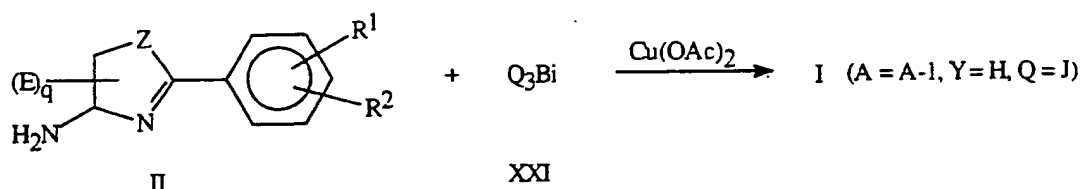
Scheme 21

10

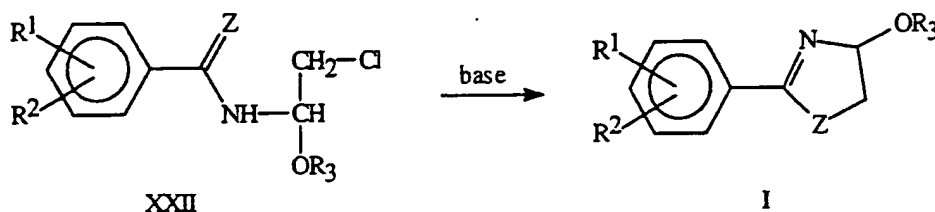
Compounds of Formula XIX can be prepared as shown in Scheme 22. Compounds of Formula XX are treated with trimethylsulfonium iodide under phase transfer conditions to give epoxides of Formula XIX (*Syn. Commun.* (1987), 17, 503-513). Compounds of Formula XX are available commercially or can be easily prepared by those skilled in the art. A useful preparation can be found in *J. Chem. Soc. Chem. Commun.* (1984), 1287.

Scheme 22

Compounds of Formula I (where A is A-1, Y is H, Q is J) can also be prepared by reaction of a compound of Formula II with a triaryl bismuth of Formula XXI in the presence of cupric acetate as shown in Scheme 23. This reaction can be carried out in a solvent such as methylene chloride at room temperature in less than 24 h (see Barton et al. *Tetrahedron Letts.* (1987), 28, pp 887-890).

Scheme 23

Compounds of Formula I (where  $\text{R}^1$  and  $\text{R}^2$  are halogens and  $\text{R}^3$  is alkyl or aryl) can be obtained by reaction of a compound of Formula XXII with a base. Compounds of  
 5 Formula XXII are prepared by reacting substituted benzamide with 2-haloacetaldehyde dialkylacetal (see EP-A-594,129). The conversion of XXII to I takes place at room temperature over a period of several hours. Scheme 24 discloses this transformation.

Scheme 24

One skilled in the art would recognize that the transformations described in Schemes 7 and 12 can be extended to the preparation of compounds of Formula I where A is A-3 by using appropriate commercially available serine derivatives.

It is recognized that some reagents and reaction conditions described above for preparing  
 15 compounds of Formula I may not be compatible with certain functionalities present in the intermediates. In these instances, the incorporation of protection/deprotection sequences into the synthesis will aid in obtaining the desired products. The use and choice of the protecting group will be apparent to one skilled in chemical synthesis.

EXAMPLE 120 Step A: Methyl 2,6-difluorobenzenecarboximidate

An amount of 10.62 g (0.068 mol) of 2,6-difluorobenzamide was added to a suspension of 10.0 g (0.068 mol) of trimethyloxonium tetrafluoroborate in 70 mL of methylene chloride. The reaction was stirred under nitrogen overnight. Saturated aqueous sodium bicarbonate was slowly added and the mixture extracted with methylene chloride. The combined extracts were  
 25 washed with brine, dried over  $\text{MgSO}_4$  and evaporated. The residue was passed through a silica gel column eluting with EtOAc:hexane (1:6) to give 9.13 g of a pale yellow oil:  $^1\text{H}$  NMR ( $\text{CDCl}_3$ )  $\delta$  7.70 (br, 1H), 7.73 (m, 1H), 6.94 (t, 2H), 3.94 (s, 3H).

Step B: Methyl 2-(2,6-difluorophenyl)-4,5-dihydro-4-oxazolecarboxylate

An amount of 9.09 g (0.058 mol) of serine methyl ester hydrochloride was added  
 30 portionwise to a 10.0 g (0.058 mol) solution of the product of Step A in 15 mL EtOH and 5 mL

H<sub>2</sub>O. The mixture was stirred at reflux for 1.5 h. Volatiles were evaporated under reduced pressure and the residue partitioned between EtOAc and H<sub>2</sub>O. The EtOAc layer was washed with brine, dried over MgSO<sub>4</sub> and evaporated. The residue was passed through a silica gel column eluting with EtOAc:hexane (1:4) to give 6.0 g of a colorless oil: <sup>1</sup>H NMR (CDCl<sub>3</sub>) δ 7.43 (m,1H), 6.98 (t,2 H) 5.00 (t,1H), 4.63-4.72 (2t,2H), 3.84 (s,3H).

Step C: 2-(2,6-Difluorophenyl)-4,5-dihydro-4-oxazolecarboxylic acid

A solution of 24.37 g (0.101 mol) of the product of Step 6 and 6.17 g (0.109 mol) of KOH in 100 mL of MeOH was stirred at room temperature for 5 h. The MeOH was evaporated under reduced pressure and the residue dissolved in H<sub>2</sub>O. Hydrochloric acid was added to the solution resulting in precipitation of a white solid. The solid was filtered and air dried to give 19.6 g of product: m.p. 149-150 °C; <sup>1</sup>H NMR (DMSO-d<sub>6</sub>) δ 13.10 (br,1H), 7.68 (m,1H), 7.28 (t,2H), 4.95 (t,1H), 4.59 (2 t,2H).

Step D: 2-(2,6-Difluorophenyl)-4,5-dihydro-N-(4-methoxyphenyl)-4-oxazolecarboxamide

The compound, 1,3-dicyclohexylcarbodiimide (0.433 g, 0.0021 mol), was added to a mixture of the product of Step C (0.500 g, 0.0021 mol), 4-dimethylaminopyridine (0.044 g, 0.00036 mol) and p-anisidine (0.259 g, 0.0021 mol) in 3.5 mL of methylene chloride. The mixture was stirred at reflux for 1.5 h. The solvent was evaporated and the residue passed through a silica gel column eluting with EtOAc:hexane (1:4) to give 0.881 g of a solid: m.p. 144-146.5 °C; <sup>1</sup>H NMR (DMSO-d<sub>6</sub>) δ 10.17 (s,1H), 7.65 (m,1H), 7.58 (d,2H), 7.28 (t,2H), 6.90 (d,2H), 5.05 (t,1H), 4.69 (2t,2H), 3.73 (s,3H).

## EXAMPLE 2

Step A: 2-(2,6-Difluorophenyl)-4,5-dihydro-4-oxazolecarboxamide

A solution of 10.0 g (0.041 mol) of methyl 2-(2,6-difluorophenyl)-4,5-dihydro-4-oxazolecarboxylate in 100 mL of a 2M solution of ammonia in MeOH was stirred at room temperature overnight. Evaporation of solvent afforded 9.04 g of a white powder: m.p. 148-149 °C; <sup>1</sup>H NMR (DMSO-d<sub>6</sub>) δ 7.67 (m,1H), 7.49 (br s,1H), 7.39 (br s,1H), 7.27 (t,2H), 4.85 (t,1H), 4.56 (2 t,2H).

Step B: 2-(2,6-Difluorophenyl)-4,5-dihydro-4-oxazoline

An amount of 0.386 g (0.0044 mol) of bromine was added dropwise to a cooled solution of 0.440 g (0.011 mol) of NaOH in 3.7 mL of H<sub>2</sub>O. 0.500 g (0.002 mol) of the product of Step A was added portionwise. The reaction was stirred at 80 °C for 0.5 h. Et<sub>2</sub>O and H<sub>2</sub>O was added and the mixture extracted with EtOAc. The combined extracts were washed with brine, dried MgSO<sub>4</sub> and evaporated to give 0.350 g of a white solid: m.p. 81-85 °C; <sup>1</sup>H NMR (DMSO-d<sub>6</sub>) δ 7.62 (m,1H), 7.24 (t,2H), 5.15 (t,1H), 4.70 (t,1H), 3.83 (t,1H).

Step C: N-[2-(2,6-Difluorophenyl)-4,5-dihydro-4-oxazolyl]nonanamide

The compound, 1,3-dicyclohexylcarbodiimide (1.03 g, 0.005 mol) was added to a mixture of the product of Step B (1.00 g, 0.005 mol), 4-dimethylaminopyridine (0.106 g, 0.00087 mol),

and nonanoic acid (0.756 g, 0.005 mol) in 9 mL of methylene chloride. The reaction was stirred at room temperature overnight. The solvent was evaporated and the residue passed through a silica gel column eluting with EtOAc:hexane (1:2) to give 1.28 g of a white solid: m.p. 55-58 °C; <sup>1</sup>H NMR CDCl<sub>3</sub> δ 7.45 (m,1H), 7.10 (br,1H), 6.98 (t,2H), 6.09 (m,1H), 4.67 (t,1H), 4.20 (dd,1H), 2.20 (t,2H), 1.61 (m,2H), 1.26 (br,10H), 0.87 (t,3H).

### EXAMPLE 3

#### N-[2-(2,6-Difluorophenyl)-4,5-dihydro-4-oxazolyl]-2-fluoro-4-(trifluoromethyl)benzamide

Thionyl chloride (2.008 g, 0.017 mol) was added to a cooled solution of 1.10 g (0.005 mol) of 2-fluoro-4-trifluoromethylbenzoic acid in 5 mL of benzene. The mixture was refluxed for 1 h. The benzene was evaporated and fresh benzene added to azeotrope off excess thionyl chloride. The residue was dissolved in 2 mL of dry THF and added dropwise to a cooled solution of 0.952 g (0.0048 mol) of 2-(2,6-difluorophenyl)-4,5-dihydro-4-oxazamine and 0.81 mL of Et<sub>3</sub>N in 3 mL of dry THF. The reaction was allowed to warm to room temperature and stirred overnight. Et<sub>2</sub>O was added and the salts filtered. The filtrate was washed successively with 1N HCl, brine, 1N NaOH and brine. It was dried over MgSO<sub>4</sub>, filtered, and evaporated to give a light brown solid. This solid was triturated with hexanes to give 0.825 g of product: m.p. 146-147 °C; <sup>1</sup>H NMR (DMSO-d<sub>6</sub>) δ 9.39 (d,1H), 7.83 (t,2H), 7.69 (m,2H), 7.30 (t,2H), 6.26 (m,1H), 4.68 (t,1H), 4.30 (t,1H).

### EXAMPLE 4

#### 2-(2,6-Difluorophenyl)-4,5-dihydro-N-[4-(trifluoromethyl)-phenylmethylene]-4-oxazamine

A mixture of 1.00 g (0.005 mol) of 2-(2,6-difluorophenyl)-4,5-dihydro-4-oxazamine, 0.870 g (0.005 mol) of 4-trifluoromethylbenzaldehyde and 0.530 g (0.005 mol) of Na<sub>2</sub>CO<sub>3</sub> in 6 mL of MeOH was stirred at room temperature overnight. Solvents were evaporated and the residue passed through a silica gel column eluting with EtOAc:hexane (1:4) affording 0.560 g of a yellow solid: m.p. 85-87 °C; <sup>1</sup>H NMR (CDCl<sub>3</sub>) δ 8.70 (s,1H), 7.91 (d,2H), 7.68 (d,2H), 7.45 (m,1H), 7.02 (t,1H), 6.18 (t,1H), 4.78 (t,1H), 4.39 (dd,1H).

### EXAMPLE 5

#### 2-(2,6-Difluorophenyl)-4,5-dihydro-N-phenyl-4-oxazamine

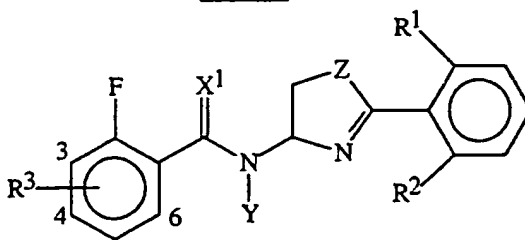
A mixture of 2.98 g (0.015 mol) of 2-(2,6-difluorophenyl)-4,5-dihydro-4-oxazamine, 7.79 g (0.018 mol) of triphenylbismuth (Alfa Research Chemicals) and 2.57 g (0.014 mol) of anhydrous cupric acetate (Aldrich Chemical Co.) in 48 mL of methylene chloride was stirred at room temperature for 2 h. Solvent was evaporated and the residue passed through a silica gel column eluting with 30% EtOAc/hexane affording 1.76 g of the title compound as a light yellow solid, which was further purified by recrystallization from CHCl<sub>3</sub>-hexane: m.p. 109.5-110.5°C; <sup>1</sup>H NMR (CDCl<sub>3</sub>) δ 7.45 (m, 1H), 7.22 (m,2H), 7.00 (m,2H), 6.80 (m,3H), 5.90 (dd,1H), 4.69 (t,1H), 4.20 (dd,1H), 4.15 (bs,1H).

**EXAMPLE 6****2-(2,6-Difluorophenyl)-4,5-dihydro-4-methoxyoxazoline**

A mixture of 2.5 g (0.01 mol) of N-(1-methoxy-2-chloroethyl)-2,6-difluorobenzamide and 0.7 g (0.011 mol) of KOH pellets (85%) in 30 mL methanol were stirred at room temperature overnight. The white slurry that formed was filtered, and the filtrate evaporated to remove residual solvent. The residue was extracted with water/methylene chloride. Upon evaporation of the methylene chloride, 1.5g (70% yield) of the title compound was obtained as an oil:

<sup>1</sup>H NMR (CDCl<sub>3</sub>) δ 7.42 (m,1H), 6.98 (m,2H), 5.59 (t,1H), 4.42 (d,1H), 4.27 (d,1H), 3.55 (s,3H).

By the procedures described herein the following compounds of Tables 1-12 can be prepared. The compounds in Table 1, line 1 can be referred to as 1-1, 1-2, 1-3, 1-4, 1-5, 1-6 and 1-7 (as designated by line and column). All the other specific compounds covered in these Tables can be designated in an analogous fashion. The following abbreviations have been used in Tables 1-12: Me = methyl, Ph = phenyl, and pyr = pyridyl.

**Table 1**

R<sup>1</sup>=F; R<sup>2</sup>=F; Z=O; Y=H; X<sup>1</sup>=O; R<sup>3</sup>=

COLUMN							
	1	2	3	4	5	6	7
1	3-F	4-F	5-F	6-F	H	4-SCF <sub>3</sub>	4-COPh
2	3-Cl	4-Cl	5-Cl	6-Cl	4-Br	4-SF <sub>5</sub>	4-OPh
3	3-CF <sub>3</sub>	4-CF <sub>3</sub>	5-CF <sub>3</sub>	4-C(O)OMe	4-I	4-CH <sub>3</sub>	6-CF <sub>3</sub>
4	3-OCF <sub>3</sub>	4-OCF <sub>3</sub>	5-OCF <sub>3</sub>	4-(4-Cl-Ph)	4-CN	4-OCH <sub>3</sub>	4-Ph
5	3-OCH <sub>2</sub> CF <sub>3</sub>	4-OCH <sub>2</sub> CF <sub>3</sub>	5-OCH <sub>2</sub> CF <sub>3</sub>	6-OCH <sub>2</sub> CF <sub>3</sub>	4-NO <sub>2</sub>	4-COMe	6-OCF <sub>3</sub>
6	4-CH <sub>2</sub> CF <sub>3</sub>	4-t-Bu	4-nBu	4-sBu	4-nPr	4-CH <sub>2</sub> CH <sub>3</sub>	4-iPr

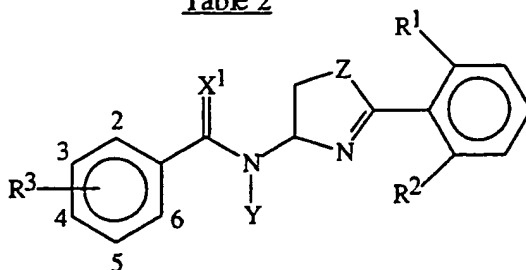
R<sup>1</sup>=F; R<sup>2</sup>=Cl; Z=O; Y=H; X<sup>1</sup>=O; R<sup>3</sup>=

7	3-F	4-F	5-F	6-F	H	4-SCF <sub>3</sub>	4-COPh
8	3-Cl	4-Cl	5-Cl	6-Cl	4-Br	4-SF <sub>5</sub>	4-OPh
9	3-CF <sub>3</sub>	4-CF <sub>3</sub>	5-CF <sub>3</sub>	6-CF <sub>3</sub>	4-I	4-CH <sub>3</sub>	4-C(O)OMe
10	3-OCF <sub>3</sub>	4-OCF <sub>3</sub>	5-OCF <sub>3</sub>	6-OCF <sub>3</sub>	4-CN	4-OCH <sub>3</sub>	4-Ph
11	3-OCH <sub>2</sub> CF <sub>3</sub>	4-OCH <sub>2</sub> CF <sub>3</sub>	5-OCH <sub>2</sub> CF <sub>3</sub>	6-OCH <sub>2</sub> CF <sub>3</sub>	4-NO <sub>2</sub>	4-COMe	4-(4-Cl-Ph)
12	4-CH <sub>2</sub> CF <sub>3</sub>	4-t-Bu	4-nBu	4-sBu	4-nPr	4-CH <sub>2</sub> CH <sub>3</sub>	4-iPr

$R^1=Cl; R^2=Cl; Z=O; Y=H; X^1=O; R^3=$ 

13	3-F	4-F	5-F	6-F	H	4-SCF <sub>3</sub>	4-COPh
14	3-Cl	4-Cl	5-Cl	6-Cl	4-Br	4-SF <sub>5</sub>	4-OPh
15	3-CF <sub>3</sub>	4-CF <sub>3</sub>	5-CF <sub>3</sub>	6-CF <sub>3</sub>	4-I	4-CH <sub>3</sub>	4-C(O)OMe
16	3-OCF <sub>3</sub>	4-OCF <sub>3</sub>	5-OCF <sub>3</sub>	6-OCF <sub>3</sub>	4-CN	4-OCH <sub>3</sub>	4-Ph
17	3-OCH <sub>2</sub> CF <sub>3</sub>	4-OCH <sub>2</sub> CF <sub>3</sub>	5-OCH <sub>2</sub> CF <sub>3</sub>	6-OCH <sub>2</sub> CF <sub>3</sub>	4-NO <sub>2</sub>	4-COMe	4-(4-Cl-Ph)
18	4-CH <sub>2</sub> CF <sub>3</sub>	4-t-Bu	4-nBu	4-s-Bu	4-nPr	4-CH <sub>2</sub> CH <sub>3</sub>	4-iPr

Table 2


 $R^1=F; R^2=F; Z=O; Y=H; X^1=O; R^3=$ 

19	4-Ph	4-CH <sub>3</sub>	4-COPh	4-OCH <sub>2</sub> CF <sub>3</sub>	4-OCF <sub>3</sub>	4-SCF <sub>3</sub>	3-OCF <sub>3</sub>
20	4-F	3-CF <sub>3</sub>	4-CF <sub>3</sub>	4-(4-Cl-Ph)	4-COMe	4-CN	2-CF <sub>3</sub>
21	4-Cl	4-SF <sub>5</sub>	4-OPh	4-CH <sub>2</sub> CF <sub>3</sub>	4-NO <sub>2</sub>	4-OCH <sub>3</sub>	4-tBu

 $R^1=F; R^2=F; Z=O; Y=H; X^1=S; R^3=$ 

22	4-CF <sub>3</sub>	4-CH <sub>3</sub>	4-COPh	4-CN	4-OCF <sub>3</sub>	4-OCH <sub>2</sub> CF <sub>3</sub>	4-COMe
23	4-F	3-OCF <sub>3</sub>	4-Ph	2-CF <sub>3</sub>	4-OCH <sub>3</sub>	4-CH <sub>2</sub> CF <sub>3</sub>	4-SCF <sub>3</sub>
24	4-Cl	4-SF <sub>5</sub>	4-OPh	3-CF <sub>3</sub>	4-NO <sub>2</sub>	4-(4-Cl-Ph)	4-tBu

 $R^1=F; R^2=F; Z=S; Y=H; X^1=O; R^3=$ 

25	4-CF <sub>3</sub>	4-CH <sub>3</sub>	2-CF <sub>3</sub>	4-CN	4-OCF <sub>3</sub>	4-(4-Cl-Ph)	4-OCH <sub>3</sub>
26	4-F	4-SCF <sub>3</sub>	4-Ph	3-OCF <sub>3</sub>	4-COMe	4-CH <sub>2</sub> CF <sub>3</sub>	4-COPh
27	4-Cl	4-SF <sub>5</sub>	4-OPh	3-CF <sub>3</sub>	4-NO <sub>2</sub>	4-OCH <sub>2</sub> CF <sub>3</sub>	4-tBu

 $R^1=F; R^2=F; Z=O; Y=CH_3; X^1=O; R^3=$ 

28	4-CF <sub>3</sub>	4-CH <sub>3</sub>	4-OCH <sub>3</sub>	4-CN	4-OCF <sub>3</sub>	4-(4-Cl-Ph)	4-COPh
29	4-F	2-CF <sub>3</sub>	4-Ph	3-OCF <sub>3</sub>	4-COMe	4-CH <sub>2</sub> CF <sub>3</sub>	4-SCF <sub>3</sub>
30	4-Cl	4-SF <sub>5</sub>	4-OPh	3-CF <sub>3</sub>	4-NO <sub>2</sub>	4-OCH <sub>2</sub> CF <sub>3</sub>	4-tBu

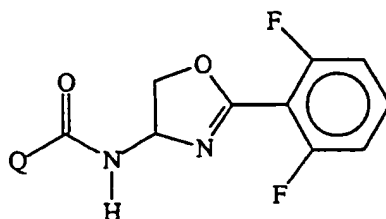
 $R^1=F; R^2=F; Z=O; Y=COMe; X^1=O; R^3=$ 

31	4-CF <sub>3</sub>	4-CH <sub>3</sub>	4-COPh	4-CN	4-OCF <sub>3</sub>	4-(4-Cl-Ph)	3-OCF <sub>3</sub>
32	4-F	4-OCH <sub>3</sub>	4-Ph	2-CF <sub>3</sub>	4-COMe	4-OCH <sub>2</sub> CF <sub>3</sub>	4-SCF <sub>3</sub>
33	4-Cl	4-SF <sub>5</sub>	4-OPh	3-CF <sub>3</sub>	4-NO <sub>2</sub>	4-CH <sub>2</sub> CF <sub>3</sub>	4-tBu

$R^1=F$ ;  $R^2=F$ ;  $Z=O$ ;  $Y=C(O)OMe$ ;  $X^1=O$ ;  $R^3=$ 

34	4-CF <sub>3</sub>	4-CH <sub>3</sub>	4-COPh	4-CN	4-OCF <sub>3</sub>	4-OCH <sub>2</sub> CF <sub>3</sub>	4-SCF <sub>3</sub>
35	4-F	4-OCH <sub>3</sub>	4-Ph	2-CF <sub>3</sub>	4-COMe	4-CH <sub>2</sub> CF <sub>3</sub>	3-OCF <sub>3</sub>
36	4-Cl	4-SF <sub>5</sub>	4-OPh	3-CF <sub>3</sub>	4-NO <sub>2</sub>	4-(4-Cl-Ph)	4-tBu

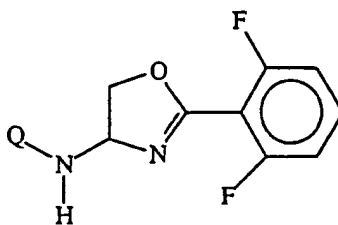
Table 3



Q=

COLUMN				
	1	2	3	4
37	(CH <sub>2</sub> ) <sub>3</sub> CH <sub>3</sub>	(CH <sub>2</sub> ) <sub>10</sub> CH <sub>3</sub>	CH=CH(CH <sub>2</sub> ) <sub>6</sub> CH <sub>3</sub>	CH <sub>2</sub> Ph
38	(CH <sub>2</sub> ) <sub>4</sub> CH <sub>3</sub>	(CH <sub>2</sub> ) <sub>11</sub> CH <sub>3</sub>	CH=CH(CH <sub>2</sub> ) <sub>7</sub> CH <sub>3</sub>	CH <sub>2</sub> CH <sub>2</sub> Ph
39	(CH <sub>2</sub> ) <sub>5</sub> CH <sub>3</sub>	CH=CHCH <sub>2</sub> CH <sub>3</sub>	CH=CH(CH <sub>2</sub> ) <sub>8</sub> CH <sub>3</sub>	3-Pyr
40	(CH <sub>2</sub> ) <sub>6</sub> CH <sub>3</sub>	CH=CH(CH <sub>2</sub> ) <sub>2</sub> CH <sub>3</sub>	CH=CH(CH <sub>2</sub> ) <sub>9</sub> CH <sub>3</sub>	6-Cl-(3-Pyr)
41	(CH <sub>2</sub> ) <sub>7</sub> CH <sub>3</sub>	CH=CH(CH <sub>2</sub> ) <sub>3</sub> CH <sub>3</sub>	CH=CH(CH <sub>2</sub> ) <sub>10</sub> CH <sub>3</sub>	CH <sub>2</sub> -4-Cl-Ph
42	(CH <sub>2</sub> ) <sub>8</sub> CH <sub>3</sub>	CH=CH(CH <sub>2</sub> ) <sub>4</sub> CH <sub>3</sub>	CH=CHCH <sub>2</sub> Ph	(CH <sub>2</sub> ) <sub>2</sub> -4-Cl-Ph
43	(CH <sub>2</sub> ) <sub>9</sub> CH <sub>3</sub>	CH=CH(CH <sub>2</sub> ) <sub>5</sub> CH <sub>3</sub>	CH=CHPh	(CH <sub>2</sub> ) <sub>3</sub> -4-Cl-Ph

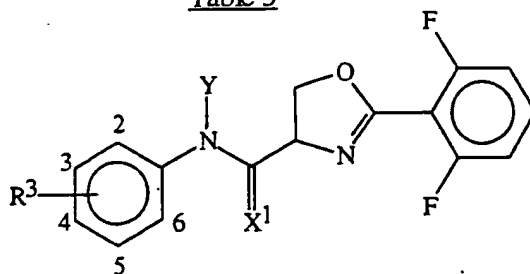
Table 4



Q=

COLUMN						
	1	2	3	4	5	6
44	(CH <sub>2</sub> ) <sub>3</sub> CH <sub>3</sub>	(CH <sub>2</sub> ) <sub>10</sub> CH <sub>3</sub>	4-Ph-Ph	3-Pyr	(CH <sub>2</sub> ) <sub>9</sub> CH <sub>3</sub>	CH <sub>2</sub> CH <sub>2</sub> Ph
45	(CH <sub>2</sub> ) <sub>4</sub> CH <sub>3</sub>	(CH <sub>2</sub> ) <sub>11</sub> CH <sub>3</sub>	4-CN-Ph	2-Pyr	4-OCH <sub>2</sub> CF <sub>3</sub> -Ph	4-CF <sub>3</sub> -Ph
46	(CH <sub>2</sub> ) <sub>5</sub> CH <sub>3</sub>	4-OCF <sub>3</sub> -Ph	3-CF <sub>3</sub> -Ph	Ph	(CH <sub>2</sub> ) <sub>8</sub> CH <sub>3</sub>	4-F-Ph
47	(CH <sub>2</sub> ) <sub>6</sub> CH <sub>3</sub>	4-Cl-Ph	(CH <sub>2</sub> ) <sub>7</sub> CH <sub>3</sub>	CH <sub>2</sub> Ph	CH <sub>2</sub> -4-Cl-Ph	4-Pyr

Table 5


 $X^1=O; Y=H; R^3=$ 

COLUMN							
	1	2	3	4	5	6	7
48	4-CF <sub>3</sub>	4-CH <sub>3</sub>	4-COPh	4-CN	4-OCF <sub>3</sub>	4-OCH <sub>2</sub> CF <sub>3</sub>	4-OCH <sub>3</sub>
49	4-F	2-CF <sub>3</sub>	4-Ph	4-SCF <sub>3</sub>	4-COMe	4-CH <sub>2</sub> CF <sub>3</sub>	3-OCF <sub>3</sub>
50	4-Cl	4-SF <sub>5</sub>	4-OPh	3-CF <sub>3</sub>	4-NO <sub>2</sub>	4-(4-Cl-Ph)	4-tBu

 $X^1=S; Y=H; R^3=$ 

51	4-CF <sub>3</sub>	4-CH <sub>3</sub>	4-COPh	4-CN	4-OCF <sub>3</sub>	4-CH <sub>2</sub> CF <sub>3</sub>	3-OCF <sub>3</sub>
52	4-F	4-OCH <sub>3</sub>	4-Ph	4-SCF <sub>3</sub>	4-COMe	4-(4-Cl-Ph)	4-NO <sub>2</sub>
53	4-Cl	4-SF <sub>5</sub>	4-OPh	3-CF <sub>3</sub>	4-NO <sub>2</sub>	4-OCH <sub>2</sub> CF <sub>3</sub>	4-tBu

 $X^1=O; Y=CH_3; R^3=$ 

54	4-CF <sub>3</sub>	4-CH <sub>3</sub>	4-COPh	4-CN	4-OCF <sub>3</sub>	4-(4-Cl-Ph)	3-OCF <sub>3</sub>
55	4-F	4-OCH <sub>3</sub>	4-Ph	4-SCF <sub>3</sub>	4-COMe	4-CH <sub>2</sub> CF <sub>3</sub>	2-CF <sub>3</sub>
56	4-Cl	4-SF <sub>5</sub>	4-OPh	3-CF <sub>3</sub>	4-NO <sub>2</sub>	4-OCH <sub>2</sub> CF <sub>3</sub>	4-tBu

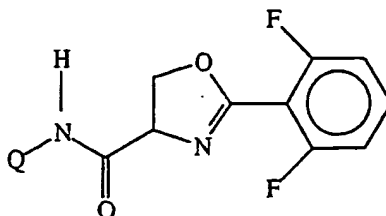
 $X^1=O; Y=COMe; R^3=$ 

57	4-CF <sub>3</sub>	4-CH <sub>3</sub>	4-COPh	4-CN	4-OCF <sub>3</sub>	4-OCH <sub>2</sub> CF <sub>3</sub>	3-OCF <sub>3</sub>
58	4-F	4-OCH <sub>3</sub>	4-Ph	4-SCF <sub>3</sub>	4-COMe	4-CH <sub>2</sub> CF <sub>3</sub>	2-CF <sub>3</sub>
59	4-Cl	4-SF <sub>5</sub>	4-OPh	3-CF <sub>3</sub>	4-NO <sub>2</sub>	4-(4-Cl-Ph)	4-tBu

 $X^1=O; Y=C(O)OMe; R^3=$ 

60	4-CF <sub>3</sub>	4-CH <sub>3</sub>	4-COPh	4-CN	4-OCF <sub>3</sub>	4-OCH <sub>2</sub> CF <sub>3</sub>	3-OCF <sub>3</sub>
61	4-F	4-OCH <sub>3</sub>	4-Ph	4-SCF <sub>3</sub>	4-COMe	4-CH <sub>2</sub> CF <sub>3</sub>	2-CF <sub>3</sub>
62	4-Cl	4-SF <sub>5</sub>	4-OPh	3-CF <sub>3</sub>	4-NO <sub>2</sub>	4-(4-Cl-Ph)	4-tBu

Table 6

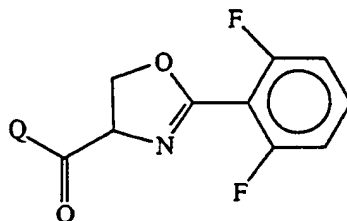




Q=

COLUMN								
	1	2	3	4	5	6	7	8
63	(CH <sub>2</sub> ) <sub>3</sub> CH <sub>3</sub>	(CH <sub>2</sub> ) <sub>8</sub> CH <sub>3</sub>	(CH <sub>2</sub> ) <sub>11</sub> CH <sub>3</sub>	(CH <sub>2</sub> ) <sub>2</sub> Ph	(CH <sub>2</sub> ) <sub>6</sub> CH <sub>3</sub>	(CH <sub>2</sub> ) <sub>10</sub> CH <sub>3</sub>	2-Pyr	3-Pyr
64	(CH <sub>2</sub> ) <sub>4</sub> CH <sub>3</sub>	(CH <sub>2</sub> ) <sub>9</sub> CH <sub>3</sub>	CH <sub>2</sub> -4-Cl-Ph	CH <sub>2</sub> Ph	(CH <sub>2</sub> ) <sub>7</sub> CH <sub>3</sub>	(CH <sub>2</sub> ) <sub>5</sub> CH <sub>3</sub>	4-Pyr	t-Bu

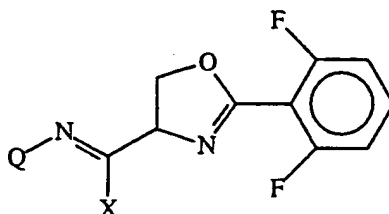
Table 7



Q=

COLUMN							
	1	2	3	4	5	6	7
65	(CH <sub>2</sub> ) <sub>3</sub> CH <sub>3</sub>	(CH <sub>2</sub> ) <sub>8</sub> CH <sub>3</sub>	(CH <sub>2</sub> ) <sub>2</sub> Ph	4-Cl-PhO	2-Pyr	(CH <sub>2</sub> ) <sub>11</sub> CH <sub>3</sub>	4-CF <sub>3</sub> -Ph
66	(CH <sub>2</sub> ) <sub>4</sub> CH <sub>3</sub>	(CH <sub>2</sub> ) <sub>9</sub> CH <sub>3</sub>	(CH <sub>2</sub> ) <sub>6</sub> CH <sub>3</sub>	4-CF <sub>3</sub> -PhO	CH <sub>2</sub> Ph	CH <sub>2</sub> -4-Cl-Ph	4-Cl-Ph
67	(CH <sub>2</sub> ) <sub>5</sub> CH <sub>3</sub>	(CH <sub>2</sub> ) <sub>10</sub> CH <sub>3</sub>	(CH <sub>2</sub> ) <sub>7</sub> CH <sub>3</sub>	Ph	4-Pyr	3-Pyr	t-Bu

Table 8

X<sup>2</sup>=H; Q=

COLUMN						
	1	2	3	4	5	6
68	(CH <sub>2</sub> ) <sub>3</sub> CH <sub>3</sub>	(CH <sub>2</sub> ) <sub>10</sub> CH <sub>3</sub>	4-OCF <sub>3</sub> -Ph	3-Pyr	CH <sub>2</sub> CH <sub>2</sub> Ph	(CH <sub>2</sub> ) <sub>8</sub> CH <sub>3</sub>
69	(CH <sub>2</sub> ) <sub>4</sub> CH <sub>3</sub>	(CH <sub>2</sub> ) <sub>11</sub> CH <sub>3</sub>	4-CN-Ph	4-Ph-Ph	4-OCH <sub>2</sub> CF <sub>3</sub> -Ph	(CH <sub>2</sub> ) <sub>9</sub> CH <sub>3</sub>
70	(CH <sub>2</sub> ) <sub>5</sub> CH <sub>3</sub>	Ph	3-CF <sub>3</sub> -Ph	4-F-Ph	CH <sub>2</sub> -4-Cl-Ph	4-CF <sub>3</sub> -Ph
71	(CH <sub>2</sub> ) <sub>6</sub> CH <sub>3</sub>	2-Pyr	CH <sub>2</sub> Ph	4-Cl-Ph	(CH <sub>2</sub> ) <sub>7</sub> CH <sub>3</sub>	2-F-Ph

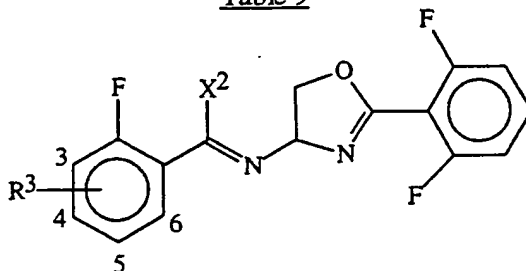
X<sup>2</sup>=Cl; Q=

	1	2	3	4	5	6
72	(CH <sub>2</sub> ) <sub>3</sub> CH <sub>3</sub>	(CH <sub>2</sub> ) <sub>10</sub> CH <sub>3</sub>	4-OCF <sub>3</sub> -Ph	3-Pyr	(CH <sub>2</sub> ) <sub>9</sub> CH <sub>3</sub>	CH <sub>2</sub> -4-Cl-Ph
73	(CH <sub>2</sub> ) <sub>4</sub> CH <sub>3</sub>	(CH <sub>2</sub> ) <sub>11</sub> CH <sub>3</sub>	4-CN-Ph	4-Ph-Ph	4-OCH <sub>2</sub> CF <sub>3</sub> -Ph	CH <sub>2</sub> CH <sub>2</sub> Ph
74	(CH <sub>2</sub> ) <sub>5</sub> CH <sub>3</sub>	Ph	3-CF <sub>3</sub> -Ph	4-CF <sub>3</sub> -Ph	4-Cl-Ph	(CH <sub>2</sub> ) <sub>8</sub> CH <sub>3</sub>
75	(CH <sub>2</sub> ) <sub>6</sub> CH <sub>3</sub>	2-Pyr	CH <sub>2</sub> Ph	4-F-Ph	(CH <sub>2</sub> ) <sub>7</sub> CH <sub>3</sub>	2-F-Ph

$X^2=OMe$ ;  $Q=$ 

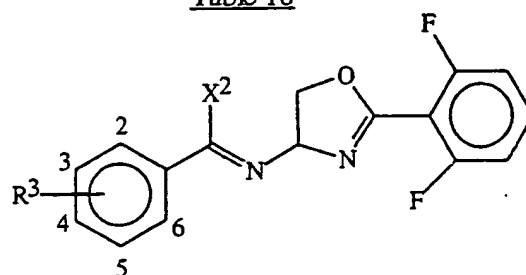
76	$(CH_2)_3CH_3$	$(CH_2)_{10}CH_3$	4- $OCF_3$ -Ph	3-Pyr	$(CH_2)_9CH_3$	$CH_2$ -4-Cl-Ph
77	$(CH_2)_4CH_3$	$(CH_2)_{11}CH_3$	4-CN-Ph	4-Ph-Ph	4- $OCH_2CF_3$ -Ph	$CH_2CH_2$ Ph
78	$(CH_2)_5CH_3$	Ph	3- $CF_3$ -Ph	2-Pyr	4- $CF_3$ -Ph	$(CH_2)_8CH_3$
79	$(CH_2)_6CH_3$	4-F-Ph	$CH_2$ Ph	2-F-Ph	$(CH_2)_7CH_3$	4-Cl-Ph

Table 9

 $X^2=H$ ;  $R^3=$ 

COLUMN							
	1	2	3	4	5	6	7
80	3-F	4-F	5-F	6-F	H	4- $SCF_3$	4-COPh
81	3-Cl	4-Cl	5-Cl	6-Cl	4-Br	4- $SF_5$	4- $OCH_3$
82	3- $CF_3$	4- $CF_3$	5- $CF_3$	6- $CF_3$	4-I	4- $CH_3$	4-C(O)OMe
83	3- $OCF_3$	4- $OCF_3$	5- $OCF_3$	6- $OCF_3$	4-CN	4-OPh	4-COM
84	3- $OCH_2CF_3$	4- $OCH_2CF_3$	5- $OCH_2CF_3$	6- $OCH_2CF_3$	4- $NO_2$	4-Ph	4-(4-Cl-Ph)
85	4- $CH_2CF_3$	4- $CH_2CH_3$	4-nBu	4-sBu	4-nPr	4-tBu	4-iPr

Table 10

 $X^2=H$ ;  $R^3=$ 

86	3- $CF_3$	4- $CH_3$	4- $OCF_3$	4-CN	2- $CF_3$	4- $SCF_3$	4- $CH_2CF_3$
87	4-F	4- $OCH_3$	4-COMe	3- $OCF_3$	4-Ph	4-COPh	4- $OCH_2CF_3$
88	4-Cl	4- $SF_3$	4- $NO_2$	4- $CF_3$	4-OPh	4-tBu	4-(4-Cl-Ph)

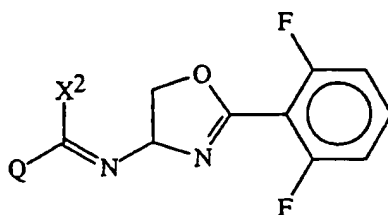
 $X^2=Cl$ ;  $R^3=$ 

89	4- $CF_3$	4- $CH_3$	4-COPh	4-CN	4- $OCF_3$	4- $SCF_3$	4- $OCH_2CF_3$
90	4-F	4- $OCH_3$	4-Ph	2- $CF_3$	4-COMe	3- $OCF_3$	4- $CH_2CF_3$
91	4-Cl	4- $SF_3$	4-OPh	3- $CF_3$	4- $NO_2$	4-tBu	4-(4-Cl-Ph)

$X^2=OMe$ ;  $R^3=$ 

92	4- $CF_3$	4- $CH_3$	4-COPh	4-CN	4- $OCF_3$	3- $OCF_3$	4- $CH_2CF_3$
93	4-F	4- $OCH_3$	4-Ph	4- $SCF_3$	4-COMe	2- $CF_3$	4-(4-Cl-Ph)
94	4-Cl	4- $SF_3$	4-OPh	3- $CF_3$	4- $NO_2$	4-tBu	4- $OCH_2CF_3$

Table 11

 $X^2=H$ ;  $Q=$ 

COLUMN						
	1	2	3	4	5	6
95	$(CH_2)_3CH_3$	$(CH_2)_8CH_3$	$(CH_2)_2Ph$	2-Pyr	$(CH_2)_{11}CH_3$	$CH_2$ -4-Cl-Ph
96	$(CH_2)_4CH_3$	$(CH_2)_9CH_3$	$CH_2Ph$	3-Pyr	$(CH_2)_6CH_3$	$(CH_2)_7CH_3$
97	$(CH_2)_5CH_3$	$(CH_2)_{10}CH_3$	4-Pyr	$(CH_2)_3Ph$	$(CH_2)_4Ph$	$(CH_2)_5Ph$

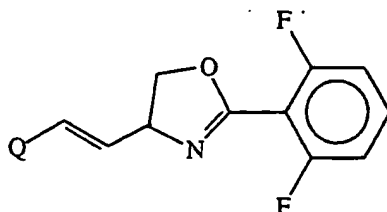
 $X^2=Cl$ ;  $Q=$ 

98	$(CH_2)_3CH_3$	$(CH_2)_8CH_3$	$(CH_2)_2Ph$	$(CH_2)_7CH_3$	$(CH_2)_6CH_3$
99	$(CH_2)_4CH_3$	$(CH_2)_9CH_3$	3-Pyr	$CH_2Ph$	$(CH_2)_{11}CH_3$
100	$(CH_2)_5CH_3$	$(CH_2)_{10}CH_3$	2-Pyr	4-Pyr	$CH_2$ -4-Cl-Ph

 $X^2=OMe$ ;  $Q=$ 

101	$(CH_2)_3CH_3$	$(CH_2)_8CH_3$	4-Pyr	$(CH_2)_7CH_3$	$(CH_2)_{11}CH_3$
102	$(CH_2)_4CH_3$	$(CH_2)_9CH_3$	2-Pyr	$(CH_2)_2Ph$	$(CH_2)_6CH_3$
103	$(CH_2)_5CH_3$	$(CH_2)_{10}CH_3$	$CH_2Ph$	$CH_2$ -4-Cl-Ph	3-Pyr

Table 12



Q=

COLUMN						
	1	2	3	4	5	6
104	(CH <sub>2</sub> ) <sub>3</sub> CH <sub>3</sub>	(CH <sub>2</sub> ) <sub>10</sub> CH <sub>3</sub>	Ph	3-Pyr	(CH <sub>2</sub> ) <sub>9</sub> CH <sub>3</sub>	CH <sub>2</sub> -4-Cl-Ph
105	(CH <sub>2</sub> ) <sub>4</sub> CH <sub>3</sub>	(CH <sub>2</sub> ) <sub>11</sub> CH <sub>3</sub>	4-CN-Ph	4-Ph-Ph	(CH <sub>2</sub> ) <sub>8</sub> CH <sub>3</sub>	4-OCH <sub>2</sub> CF <sub>3</sub> -Ph
106	(CH <sub>2</sub> ) <sub>5</sub> CH <sub>3</sub>	4-OCF <sub>3</sub> -Ph	3-CF <sub>3</sub> -Ph	2-Pyr	CH <sub>2</sub> CH <sub>2</sub> Ph	4-CF <sub>3</sub> -Ph
107	(CH <sub>2</sub> ) <sub>6</sub> CH <sub>3</sub>	4-Cl-Ph	CH <sub>2</sub> Ph	4-F-Ph	(CH <sub>2</sub> ) <sub>7</sub> CH <sub>3</sub>	2-F-Ph

### Formulation/Utility

Compounds of this invention will generally be used in formulation with an agriculturally suitable carrier comprising a liquid or solid diluent. Useful formulations include dusts, granules, baits, pellets, solutions, suspensions, emulsions, wettable powders, emulsifiable concentrates, dry flowables and the like, consistent with the physical properties of the active ingredient, mode of application and environmental factors such as soil type, moisture and temperature. Sprayable formulations can be extended in suitable media and used at spray volumes from about one to several hundred liters per hectare. High strength compositions are primarily used as intermediates for further formulation. The formulations will typically contain effective amounts of active ingredient, diluent and surfactant within the following approximate ranges which add up to 100 weight percent.

	Weight Percent		
	Active Ingredient	Diluent	Surfactant
Wettable Powders	5-90	0-74	1-10
Oil Suspensions, Emulsions, Solutions, (including Emulsifiable Concentrates)	5-50	40-95	0-15
Dusts	1-25	70-99	0-5
Granules, Baits and Pellets	0.01-99	5-99.99	0-15
High Strength Compositions	90-99	0-10	0-2

Typical solid diluents are described in Watkins, et al., *Handbook of Insecticide Dust Diluents and Carriers*, 2nd Ed., Dorland Books, Caldwell, New Jersey. Typical liquid diluents and solvents are described in Marsden, *Solvents Guide*, 2nd Ed., Interscience, New York, (1950). *McCutcheon's Detergents and Emulsifiers Annual*, Allured Publ. Corp., Ridgewood, New Jersey, as well as Sisely and Wood, *Encyclopedia of Surface Active Agents*, Chemical Publ. Co., Inc., New York, (1964), list surfactants and recommended uses. All formulations can contain minor amounts of additives to reduce foam, caking, corrosion, microbiological growth, and the like.

Solutions are prepared by simply mixing the ingredients. Fine solid compositions are made by blending and, usually, grinding as in a hammer mill or fluid energy mill. Water-dispersible granules can be produced by agglomerating a fine powder composition; see for example, Cross et al., *Pesticide Formulations*, Washington, D.C., (1988), pp 251-259. Suspensions are prepared by wet-milling; see, for example, U.S. 3,060,084. Granules and pellets can be made by spraying the active material upon preformed granular carriers or by agglomeration techniques. See Browning, "Agglomeration", *Chemical Engineering*, December 4, 1967, pp 147-148, *Perry's Chemical Engineer's Handbook*, 4th Ed., McGraw-Hill, New York, (1963), pages 8-57 and following, and WO 91/13546.

For further information regarding the art of formulation, see U.S. 3,235,361, Col. 6, line 16 through Col. 7, line 19 and Examples 10-41; U.S. 3,309,192, Col. 5, line 43 through Col. 7, line 62 and Examples 8, 12, 15, 39, 41, 52, 53, 58, 132, 138 -140, 162-164, 166, 167 and 169-182; U.S. 2,891,855, Col. 3, line 66 through Col. 5, line 17 and Examples 1-4; Klingman, *Weed Control as a Science*, John Wiley and Sons, Inc., New York, 1961, pp 81-96; and Hance et al., *Weed Control Handbook*, 8th Ed., Blackwell Scientific Publications, Oxford, (1989).

In the following Examples, all percentages are by weight and all formulations are prepared in conventional ways. Compound numbers refer to compounds in Index Tables A-E.

#### Example A

##### Wettable Powder

Compound 1	65.0%
dodecylphenol polyethylene glycol ether	2.0%
sodium ligninsulfonate	4.0%
sodium silicoaluminate	6.0%
montmorillonite (calcined)	23.0%.

#### Example B

##### Granule

Compound 1	10.0%
attapulgate granules (low volatile matter, 0.71/0.30 mm; U.S.S. No. 25-50 sieves)	90.0%.

#### Example C

##### Extruded Pellet

Compound 1	25.0%
anhydrous sodium sulfate	10.0%
crude calcium ligninsulfonate	5.0%
sodium alkyl naphthalenesulfonate	1.0%
calcium/magnesium bentonite	59.0%.

Example DEmulsifiable Concentrate

	Compound 1	20.0%
	blend of oil soluble sulfonates	
5	and polyoxyethylene ethers	10.0%
	isophorone	70.0%.

The compounds of this invention exhibit activity against a wide spectrum of foliar-feeding, fruit-feeding, stem or root feeding, seed-feeding, aquatic and soil-inhabiting arthropods (term "arthropods" includes insects, mites and nematodes) which are pests of growing and stored

10 agronomic crops, forestry, greenhouse crops, ornamentals, nursery crops, stored food and fiber products, livestock, household, and public and animal health. Those skilled in the art will appreciate that not all compounds are equally effective against all growth stages of all pests. Nevertheless, compounds of this invention display activity against one or more of the following pests: eggs, larvae and adults of the Order Lepidoptera; eggs, foliar-feeding, fruit-feeding,

15 root-feeding, seed-feeding larvae and adults of the Order Coleoptera; eggs, immatures and adults of the Orders Hemiptera and Homoptera; eggs, larvae, nymphs and adults of the Order Acari; eggs, immatures and adults of the Orders Thysanoptera, Orthoptera and Dermaptera; eggs, immatures and adults of the Order Diptera; and eggs, juveniles and adults of the Phylum Nematoda. The compounds of this invention are also active against pests of the Orders

20 Hymenoptera, Isoptera, Siphonaptera, Blattaria, Thysanura and Psocoptera; pests belonging to the Class Arachnida and Phylum Platyhelminthes. Specifically, the compounds are active against southern corn rootworm (*Diabrotica undecimpunctata howardi*), aster leafhopper (*Mascrosteles fascifrons*), boll weevil (*Anthonomus grandis*), two-spotted spider mite (*Tetranychus urticae*), fall armyworm (*Spodoptera frugiperda*), black bean aphid (*Aphis fabae*),

25 green peach aphid (*Myzus persica*), cotton aphid (*Aphis gossypii*), Russian wheat aphid (*Diuraphis noxia*), English grain aphid (*Sitobion avenae*), tobacco budworm (*Heliothis virescens*), rice water weevil (*Lissorhoptrus oryzophilus*), rice leaf beetle (*Oulema oryzae*), whitebacked planthopper (*Sogatella furcifera*), green leafhopper (*Nephotettix cincticeps*), brown planthopper (*Nilaparvata lugens*), small brown planthopper (*Laodelphax striatellus*), rice

30 stem borer (*Chilo suppressalis*), rice leafroller (*Cnaphalocrocis medinalis*), black rice stink bug (*Scotinophara lurida*), rice stink bug (*Oebalus pugnax*), rice bug (*Leptocorisa chinensis*), slender rice bug (*Cletus puntiger*), and southern green stink bug (*Nezara viridula*). The compounds are active on mites, demonstrating ovicidal, larvicidal and chemosterilant activity against such families as Tetranychidae including *Tetranychus urticae*, *Tetranychus*

35 *cinnabarinus*, *Tetranychus mcdanieli*, *Tetranychus pacificus*, *Tetranychus turkestanii*, *Byrobia rubrioculus*, *Panonychus ulmi*, *Panonychus citri*, *Eotetranychus carpini borealis*, *Eotetranychus*, *hicoriae*, *Eotetranychus sexmaculatus*, *Eotetranychus yumensis*, *Eotetranychus banksi* and *Oligonychus pratensis*; Tenuipalpidae including *Brevipalpus lewisi*, *Brevipalpus*

*phoenicis*, *Brevipalpus californicus* and *Brevipalpus obovatus*; Eriophyidae including *Phyllocoptruta oleivora*, *Eriophyes sheldoni*, *Aculus cornutus*, *Epitrimerus pyri* and *Eriophyes mangiferae*. See WO 90/10623 and WO 92/00673 for more detailed pest descriptions.

Compounds of this invention can also be mixed with one or more other insecticides, fungicides, nematocides, bactericides, acaricides, growth regulators, chemosterilants, semiochemicals, repellants, attractants, pheromones, feeding stimulants or other biologically active compounds to form a multi-component pesticide giving an even broader spectrum of agricultural protection. Examples of other agricultural protectants with which compounds of this invention can be formulated are: insecticides such as avermectin B, monocrotophos, carbofuran, tetrachlorvinphos, malathion, parathion-methyl, methomyl, chlordimeform, diazinon, deltamethrin, oxamyl, fenvalerate, esfenvalerate, permethrin, profenofos, sulprofos, triflumuron, diflubenzuron, methoprene, buprofezin, thiodicarb, acephate, azinphosmethyl, chlorpyrifos, dimethoate, fipronil, flufenprox, fonophos, isofenphos, methidathion, metha-midophos, phosmet, phosphamidon, phosalone, pirimicarb, phorate, terbufos, trichlorfon, methoxychlor, bifenthrin, biphenate, cyfluthrin, tefluthrin, fenpropathrin, fluvalinate, flucythrinate, tralomethrin, imidacloprid, metaldehyde and rotenone; fungicides such as carbendazim, thiuram, dodine, maneb, chloroneb, benomyl, cymoxanil, fenpropidine, fenpropimorph, triadimefon, captan, thiophanate-methyl, thiabendazole, phosethyl-Al, chlorothalonil, dichloran, metalaxyl, captafol, iprodione, oxadixyl, vinclozolin, kasugamycin, myclobutanil, tebuconazole, difenoconazole, diniconazole, fluquinconazole, ipconazole, metconazole, penconazole, propiconazole, uniconazole, flutriafol, prochloraz, pyrifenox, fenarimol, triadimenol, diclobutrazol, copper oxychloride, furalaxyl, folpet, flusilazol, blastiscidin S, diclomezine, edifenphos, isoprothiolane, iprobenfos, mepronil, neo-asozin, pencycuron, probenazole, pyroquilon, tricyclazole, validamycin, and flutolanil; nematocides such as aldoxycarb, fenamiphos and fosthietan; bactericides such as oxytetracycline, streptomycin and tribasic copper sulfate; acaricides such as binapacryl, oxythioquinox, chlorobenzilate, dicofol, dienochlor, cyhexatin, hexythiazox, amitraz, propargite, tebufenpyrad and fenbutatin oxide; and biological agents such as entomopathogenic bacteria, virus and fungi.

In certain instances, combinations with other arthropodicides having a similiar spectrum of control but a different mode of action will be particularly advantageous for resistance management.

Arthropod pests are controlled and protection of agronomic, horticultural and specialty crops, animal and human health is achieved by applying one or more of the compounds of this invention, in an effective amount, to the environment of the pests including the agronomic and/or nonagronomic locus of infestation, to the area to be protected, or directly on the pests to be controlled. Thus, the present invention further comprises a method for the control of foliar and soil inhabiting arthropods and nematode pests and protection of agronomic and/or nonagronomic crops, comprising applying one or more of the compounds of Formula I, or

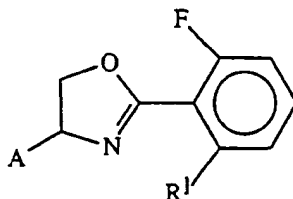
compositions containing at least one such compound, in an effective amount, to the environment of the pests including the agronomic and/or nonagronomic locus of infestation, to the area to be protected, or directly on the pests to be controlled. A preferred method of application is by spraying. Alternatively, granular formulations of these compounds can be applied to the plant foliage or the soil. Other methods of application include direct and residual sprays, aerial sprays, seed coats, microencapsulations, systemic uptake, baits, eartags, boluses, foggers, fumigants, aerosols, dusts and many others. The compounds can be incorporated into baits that are consumed by the arthropods or in devices such as traps and the like.

The compounds of this invention can be applied in their pure state, but most often application will be of a formulation comprising one or more compounds with suitable carriers, diluents, and surfactants and possibly in combination with a food depending on the contemplated end use. A preferred method of application involves spraying a water dispersion or refined oil solution of the compounds. Combinations with spray oils, spray oil concentrations, spreader stickers, adjuvants, and synergists and other solvents such as piperonyl butoxide often enhance compound efficacy.

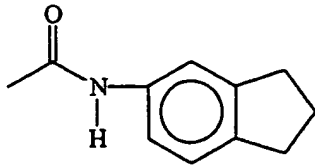
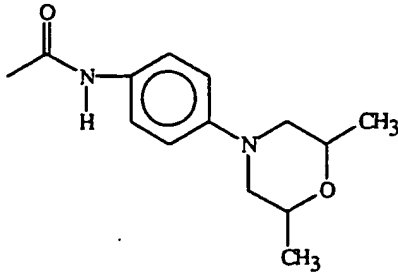
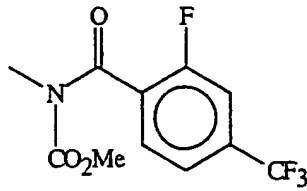
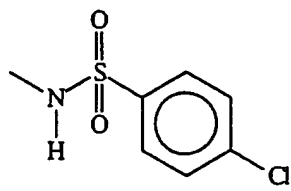
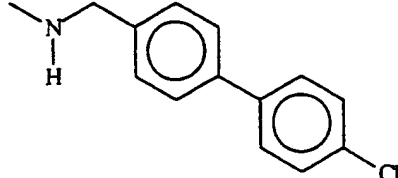
The rate of application required for effective control will depend on such factors as the species of arthropod to be controlled, the pest's life cycle, life stage, its size, location, time of year, host crop or animal, feeding behavior, mating behavior, ambient moisture, temperature, and the like. Under normal circumstances, application rates of about 0.01 to 2 kg of active ingredient per hectare are sufficient to control pests in agronomic ecosystems, but as little as 0.001 kg/hectare may be sufficient or as much as 8 kg hectare may be required. For nonagronomic applications, effective use rates will range from about 1.0 to 50 mg/square meter but as little as 0.1 mg/square meter may be sufficient or as much as 150 mg/square meter may be required.

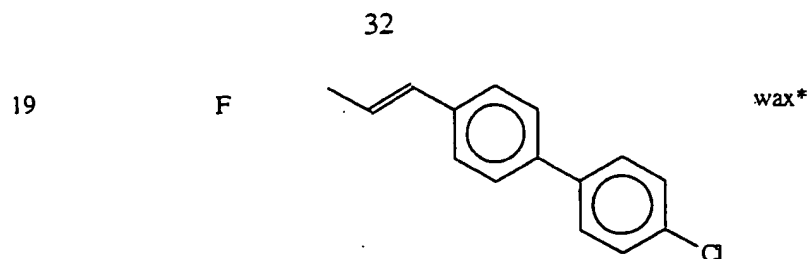
The following TESTS demonstrate the control efficacy of compounds of this invention on specific pests. "Control efficacy" represents inhibition of arthropod development (including mortality) that causes significantly reduced feeding. The pest control protection afforded by the compounds is not limited, however, to these species. See Index Tables A-G for compound descriptions. The following abbreviations have been used in Index Tables A-G: Me = methyl, Bu = butyl and Ph = phenyl.

Index Table A



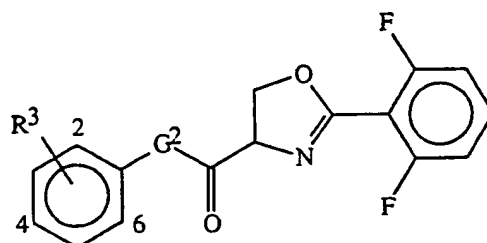


<u>Compound</u>	<u>R<sup>1</sup></u>	<u>A</u>	<u>m.p. (°C)</u>
1	F	C(O)OMe	oil*
2	F	C(O)NH <sub>2</sub>	148-149
3	F	C(S)NH <sub>2</sub>	138-139
4	F	C(O)Ph	oil*
5	F	C(O)OH	149-150
6	F	C(O)NHtBu	67-70
7	F	C(O)NH(CH <sub>2</sub> ) <sub>10</sub> CH <sub>3</sub>	54-55
8	F	NH <sub>2</sub>	81-85
9	F	OMe	oil*
10	Cl	OMe	oil*
11	F	N(H)CH <sub>2</sub> (2-F-Ph)	oil*
12	F	CH=CH(Ph)	oil*
13	F	CH=CH(4-F-Ph)	wax*
14	F		125-130
15	F		oil*
16	F		oil*
17	F		134-136
18	F		oil*



\* see Index Table G for spectral data.

Index Table B

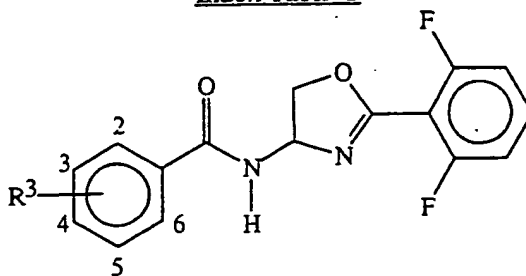


<u>Compound</u>	<u>G<sup>2</sup></u>	<u>R<sup>3</sup></u>	<u>m.p. (°C)</u>
20	NH	4-Cl	123-129
21	NH	4-tBu	140-144
22	O	4-tBu	63-65
23	NH	4-CN	159-163
24	NH	4-OMe	144-147
25	NH	4-NO <sub>2</sub>	160-168
26	NH	4-CF <sub>3</sub>	144-147
27	NH	4-Me	101-103
28	NH	4-Br	135-138
29	NH	3-NO <sub>2</sub>	158-160
30	NH	3-CN	170-172
31	NH	3-OMe	78-79
32	NH	3-CF <sub>3</sub>	130-133
33	NH	3-Me	oil*

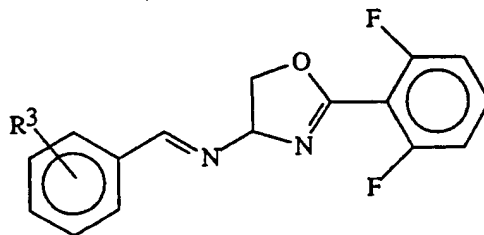
5

\* see Index Table G for spectral data.

Index Table C



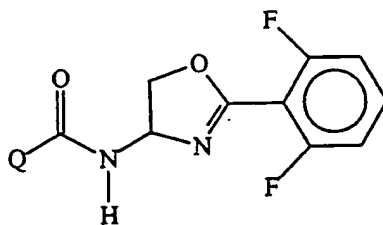
<u>Compound</u>	<u>R<sup>3</sup></u>	<u>m.p. (°C)</u>
34	4-tBu	117-120
35	2-F, 4-CF <sub>3</sub>	146-147
36	4-Ph	195-197
37	3-OPh	134-135
38	3-COPh	193-194
39	4-CF <sub>3</sub>	153-155
40	2-F	116-119
41	H	151-152
42	4-OMe	152-153
43	4-OPh	134-135
44	4-OCF <sub>3</sub>	145-146
45	4-CHO	174-175
46	4-I	168-173
47	4-(4-Cl-Ph)	168-170
48	2,4-diF	144-145
49	4-F	158-160
50	2-OMe	98-101
51	2-F, 4-(4-Cl-Ph)	138-139
52	2,5-diF	152-154

Index Table D

<u>Compound</u>	<u>R<sup>3</sup></u>	<u>m.p. (°C)</u>
53	4-Cl	oil *
54	4-CF <sub>3</sub>	85-87
55	4-tBu	oil *

\* see Index Table G for spectral data.

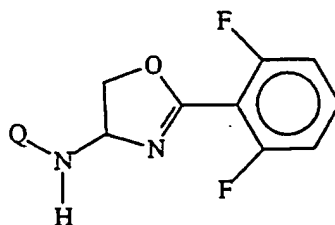
34

Index Table E

<u>Compound</u>	<u>Q</u>	<u>m.p. (°C)</u>
56	$(\text{CH}_2)_7\text{CH}_3$	55-58
57	$\text{CH}=\text{CH}(\text{CH}_2)_5\text{CH}_3$	59-60
58	$\text{CH}=\text{CH}(4\text{-Cl-Ph})$	152-154
59	$\text{N(H)}(4\text{-Cl-Ph})$	165-169
60	2-pyridyl	126-129
61	OMe	92-93
62	2-naphthyl	73-76
63	$\text{C}\equiv\text{C(Ph)}$	114-116
64	$\text{C}\equiv\text{C}(4\text{-Cl-Ph})$	134-135
65		168-170

66 177-178

67 148-151

Index Table F

<u>Compound</u>	<u>Q</u>	<u>m.p. (°C)</u>
68	Ph	109.5-110.5
69	4-F-Ph	101.5-102
70	4-Cl-Ph	111-113
71	4-Br-Ph	125
72	4-Me-Ph	81.5-82
73	4-F-3-Me-Ph	101-102
74	3-F-Ph	108
75	3,5-diF-Ph	128-129
76	4-tBu-Ph	oil*
77	4-OCF <sub>3</sub> -Ph	oil*
78	4-(4-Me-Ph)-Ph	131-139
79	CH <sub>2</sub> (2-F-4-CF <sub>3</sub> -Ph)	61-63

\* see Index Table G for spectral data.

### INDEX TABLE G

#### Spectral Data

#### CMPD

1	<sup>1</sup> H NMR (CDCl <sub>3</sub> ):	δ 7.43 (m,1H); 6.98 (t,2H); 5.00 (ABq,1H); 4.63-4.72 (m,2H); 3.84 (s,3H).
4	<sup>1</sup> H NMR (CDCl <sub>3</sub> ):	δ 8.24 (d,2H), 7.30-7.70 (m,4H), 6.93 (t,2H), 5.79 (t,1H), 5.14 (t,1H), 4.60 (t,1H).
9	<sup>1</sup> H NMR (CDCl <sub>3</sub> ):	δ 7.42 (m,1H), 6.98 (m,2H), 5.59 (t,1H), 4.42 (d,1H), 4.27 (d,1H), 3.55 (s, 3H).
10	<sup>1</sup> H NMR (CDCl <sub>3</sub> ):	δ 7.35 (m,1H), 7.25 (m,1H), 7.05 (m,1H), 5.60 (m,1H), 4.42 (m,1H), 4.30 (m, 1H), 3.55 (s,3H).
11	<sup>1</sup> H NMR (CDCl <sub>3</sub> ):	δ 4.10 (ABq,2H), 4.13 (t,1H), 4.52 (t,1H), 5.35 (t,1H), 6.92-7.45 (m,7H).
12	<sup>1</sup> H NMR (CDCl <sub>3</sub> ):	δ 7.50-7.20 (m,6H), 6.98 (t,2H), 6.71 (d,1H), 6.28 (dd,1H), 5.08 (q,1H), 4.67 (t, 1H), 4.24 (t,1H).
13	<sup>1</sup> H NMR (CDCl <sub>3</sub> ):	δ 7.43 (m,1H), 7.37 (m,2H), 7.00 (m,4H), 6.65 (d,1H), 6.18 (dd,1H), 5.06 (q,1H), 4.66 (t,1H), 4.23 (t,1H).
16	<sup>1</sup> H NMR (CDCl <sub>3</sub> ):	δ 3.71 (s,3H), 4.67 (m,2H), 6.99 (m,3H), 7.30-7.70 (m,4H).
18	<sup>1</sup> H NMR (CDCl <sub>3</sub> ):	δ 4.05 (ABq,2H), 4.10 (t,1H), 4.55 (t,1H), 5.36 (t,1H); 6.95 (t,2H), 7.35-7.55 (m,9H).
19	<sup>1</sup> H NMR (CDCl <sub>3</sub> )	δ 7.55-7.35 (m,9H), 6.99 (t,2H), 6.73 (d,1H), 6.33 (dd,1H), 5.10 (q,1H), 4.68 (t,1H), 4.26 (t,1H).

- 33  $^1\text{H}$  NMR ( $\text{Me}_2\text{SO}-d_6$ ):  $\delta$  10.20 (s,1H), 7.70 (m,1H), 7.30 (s,1H), 7.45 (d,1H), 7.20-7.32 (m,3H), 6.94 (d,1H), 5.06 (t,1H), 4.63-4.69 (m,2H), 2.29 (s,3H).
- 53  $^1\text{H}$  NMR ( $\text{CDCl}_3$ ):  $\delta$  8.58 (s,1H), 7.72 (d,2H), 7.39 (m,3H), 7.01 (t,2H), 6.12 (t,1H), 4.75 (t,1H), 4.39 (t,1H).
- 55  $^1\text{H}$  NMR ( $\text{CDCl}_3$ ):  $\delta$  8.59 (s,1H), 7.72 (d,2H), 7.44 (m,3H), 6.99 (t,2H), 6.10 (t,1H), 4.72 (t,1H), 4.36 (t,1H), 1.33 (s,9H).
- 76  $^1\text{H}$  NMR ( $\text{Me}_2\text{SO}$ ):  $\delta$  7.62 (m,1H), 7.24 (t,2H), 7.16 (d,2H), 6.69 (d,2H), 6.2 (d,1H), 5.80 (q,1H), 4.65 (t,1H), 4.18 (t,1H), 1.22 (s,9H).
- 77  $^1\text{H}$  NMR ( $\text{Me}_2\text{SO}$ ):  $\delta$  7.62 (m,1H), 7.25 (t,2H), 7.14 (d,2H), 6.84 (d,2H), 6.75 (d,1H), 5.85 (q,1H), 4.70 (t,1H), 4.20 (t,1H).

### TEST A

#### Southern Corn Rootworm

- Test units, each consisting of a 230 mL (8 ounce) plastic cup containing a 2.54 cm<sup>2</sup> plug (1 square inch) of a wheatgerm diet, were prepared. Solutions of each of the test compounds in 75/25 acetone/distilled water solvent were sprayed into the tray and cup. Spraying was accomplished by passing the tray and cup on a conveyer belt directly beneath a flat fan hydraulic nozzle which discharged the spray at a rate of 0.55 kg of active ingredient per hectare (about 0.5 pounds per acre) at 207 kPa (30 p.s.i.). After the spray on the cups had dried, five second-instar larvae of the southern corn rootworm (*Diabrotica undecimpunctata howardi*) were placed into each cup. The cups were held at 27°C and 50% relative humidity for 6-8 days. Of the compounds tested, the following gave control efficacy levels of 80% or greater: 54.

### TEST B

#### Two-Spotted Spider Mite

- Pieces of kidney bean leaves, each approximately 2.54 cm<sup>2</sup> (1 square inch) in area, that had been infested on the undersides with 25 to 30 adult mites (*Tetranychus urticae*), were sprayed with their undersides facing up on a hydraulic sprayer with a solution of the test compound in 75/25 acetone/distilled water solvent. Spraying was accomplished by passing the leaves, on a conveyor belt, directly beneath a flat fan hydraulic nozzle which discharged the spray at a rate of 0.55 kilograms of active ingredient per hectare (about 0.5 pounds per acre) at 207kPa (30 p.s.i.). The leaf squares were then placed underside-up on square of wet cotton in a petri dish and the perimeter of the leaf square was tamped down onto the cotton with forceps so that the mites could not escape onto the untreated leaf surface. The test units were held at 27°C and 50% relative humidity for 7 days and read for larvacide/ovacide mortality. Of the compounds tested, the following gave activity levels of 80% or higher: 5, 7, 12, 13, 19, 20 and 27.

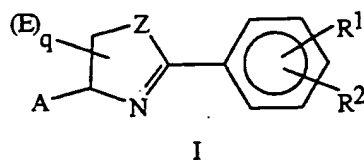
TEST CTwo-Spotted Spider Mite

A solution of the test compound was prepared by dissolving it in a minimum of acetone and then adding water containing a wetting agent until the concentration of the compound was 100 ppm. Two-week old red kidney bean plants infested with two-spotted spider mite eggs (*Tetranychus urticae*) were sprayed to run-off with the test solution using a turntable sprayer. Plants were held in a chamber at 25°C and 50% relative humidity and scored for activity seven days after spray. Of the compounds tested, 80% or greater control was achieved using the following compounds: 7, 11\*, 12, 13, 16\*, 18\*, 19, 35, 40\*, 41\*, 44\*, 48\*, 49\*, 51\*, 53, 56, 57, 58, 68\*, 70\*, 71\*, 72\*, 74\*, 76\*, 77\* and 79\*.

\* - tested at 50 ppm.

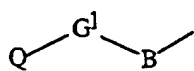
CLAIMS

1. A compound of the formula

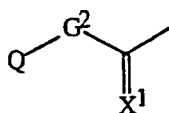


5 wherein:

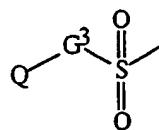
A is selected from the group



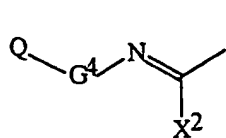
A-1



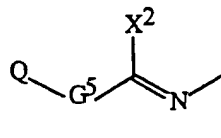
A-2



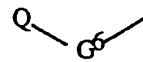
A-3



A-4



A-5



A-6

10 B is selected from the group O and N-Y;

E is selected from the group C<sub>1</sub>-C<sub>4</sub> alkyl and C<sub>1</sub>-C<sub>4</sub> haloalkyl;

X<sup>1</sup> and Z are independently selected from the group O and S;

X<sup>2</sup> is selected from the group H, halogen, C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>4</sub> haloalkyl, C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> haloalkoxy, C<sub>1</sub>-C<sub>4</sub> alkylthio, C(O)OR<sup>13</sup> and CN;

15 Y is selected from the group H, C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>1</sub>-C<sub>6</sub> haloalkyl, C<sub>2</sub>-C<sub>6</sub> alkenyl, C<sub>2</sub>-C<sub>6</sub> haloalkenyl, C<sub>2</sub>-C<sub>6</sub> alkynyl, C<sub>2</sub>-C<sub>6</sub> haloalkynyl, C<sub>3</sub>-C<sub>6</sub> cycloalkyl, C<sub>3</sub>-C<sub>6</sub> halocycloalkyl, C<sub>4</sub>-C<sub>7</sub> cycloalkylalkyl, CHO, C(O)R<sup>16</sup>, C(O)OR<sup>16</sup>, C(S)R<sup>16</sup>, C(S)OR<sup>16</sup>, C(S)SR<sup>16</sup>, C(O)C(O)OR<sup>16</sup>, C(O)CH<sub>2</sub>C(O)OR<sup>16</sup>, S(O)<sub>t</sub>R<sup>16</sup>, S(O)<sub>2</sub>CH<sub>2</sub>C(O)OR<sup>16</sup>, P(X)(OR<sup>18</sup>)<sub>2</sub>, S(O)<sub>t</sub>N(R<sup>13</sup>)C(O)OR<sup>12</sup>, S(O)<sub>t</sub>N(R<sup>14</sup>)R<sup>15</sup>, N=CR<sup>10</sup>R<sup>11</sup>, OR<sup>9</sup>, NR<sup>9</sup>R<sup>10</sup>; phenyl optionally substituted with 1-3 substituents independently selected from W<sup>1</sup>; and C<sub>1</sub>-C<sub>6</sub> alkyl substituted with 1-3 substituents independently selected from the group C<sub>1</sub>-C<sub>3</sub> alkoxy, C<sub>1</sub>-C<sub>3</sub> haloalkoxy, CN, NO<sub>2</sub>, S(O)<sub>t</sub>R<sup>16</sup>, P(X)(OR<sup>18</sup>)<sub>2</sub>, C(O)R<sup>16</sup>, C(O)OR<sup>16</sup> and phenyl optionally substituted with 1-3 substituents independently selected from W<sup>1</sup>;

25

X is selected from the group O and S;



- $G^1$  is selected from the group single bond,  $C(=X^1)$ ,  $C(=X^1)N(Y)$ ,  $C(=X^1)O$  and  $S(O)_2$ ;
- $G^2$  is selected from the group single bond, O, S and N-Y;
- $G^3$  is selected from the group single bond, O and N-Y;
- 5  $G^4$  is selected from the group single bond, O and N-Y;
- $G^5$  is selected from the group single bond, O, S and N-Y;
- $G^6$  is selected from the group  $C_2-C_4$  alkenylene,  $C_2-C_4$  alkynylene, O- $C_2-C_4$  alkenylene and O- $C_2-C_4$  alkynylene;
- 10 Q is selected from the group H and J; or Q is selected from the group  $C_1-C_{16}$  alkyl,  $C_1-C_{16}$  haloalkyl,  $C_2-C_{16}$  alkenyl,  $C_2-C_{16}$  haloalkenyl,  $C_2-C_{16}$  alkynyl,  $C_2-C_{16}$  haloalkynyl,  $C_3-C_7$  cycloalkyl,  $C_3-C_7$  halocycloalkyl and  $C_4-C_7$  cycloalkylalkyl, each group optionally substituted with 1-4 substituents independently selected from W;
- 15 J is a 5- or 6-membered aromatic ring containing 0 to 4 heteroatoms independently selected from the group 0-4 nitrogen, 0-1 oxygen, and 0-1 sulfur; or J is a 9- to 14-membered aromatic ring system selected from the group fused bicyclic ring and fused tricyclic ring, each ring system containing 0 to 6 heteroatoms independently selected from the group 0-4 nitrogen, 0-2 oxygen, and 0-2 sulfur; wherein J is optionally substituted with 1-4 substituents independently selected from the group  $R^3$ ;
- 20  $R^1$  is selected from the group halogen,  $C_1-C_6$  alkyl,  $C_1-C_6$  haloalkyl,  $C_1-C_6$  alkoxy,  $C_1-C_6$  haloalkoxy,  $S(O)_tR^{16}$ , CN and  $NO_2$ ;
- $R^2$  is selected from the group H, halogen,  $C_1-C_6$  alkyl,  $C_1-C_6$  haloalkyl,  $C_1-C_6$  alkoxy,  $C_1-C_6$  haloalkoxy,  $S(O)_tR^{16}$ , CN and  $NO_2$ ;
- 25  $R^3$  is selected from the group halogen,  $C_1-C_{16}$  alkyl,  $C_1-C_{16}$  haloalkyl,  $C_2-C_{16}$  alkenyl,  $C_2-C_{16}$  haloalkenyl,  $C_2-C_{16}$  alkynyl,  $C_2-C_{16}$  haloalkynyl,  $C_2-C_{16}$  alkoxyalkyl,  $C_2-C_{16}$  alkylthioalkyl,  $C_1-C_{16}$  nitroalkyl,  $C_2-C_{16}$  cyanoalkyl,  $C_3-C_{18}$  alkoxycarbonylalkyl,  $C_3-C_6$  cycloalkyl,  $C_3-C_6$  halocycloalkyl, CN,  $N_3$ , SCN,  $NO_2$ , SH,  $S(O)_tR^{16}$ , OCHO,  $OR^{20}$ , CHO,  $C(O)R^{21}$ ,  $C(O)OR^{21}$ ,
- 30  $C(O)NR^{16}R^{17}$ ,  $S(O)_2NR^{16}R^{17}$ ,  $C(R^4)=NR^9$ ,  $N=CR^4R^9$ ,  $NR^{16}R^{17}$ ,  $NR^{17}C(O)R^{16}$ ,  $NR^{17}C(O)NHR^{16}$ ,  $NR^{17}S(O)_2R^{16}$ ,  $Si(R^6)(R^7)(R^8)$ ,  $SF_5$  and M-J<sup>1</sup>;
- $R^4$  is selected from the group halogen,  $C_1-C_6$  alkyl,  $C_1-C_6$  haloalkyl,  $C_1-C_6$  alkoxy,  $C_1-C_6$  haloalkoxy and phenyl optionally substituted with  $R^5$ ;
- 35  $R^5$  is selected from the group halogen, CN,  $NO_2$ ,  $C_1-C_6$  alkyl,  $C_1-C_6$  haloalkyl,  $C_1-C_6$  alkoxy,  $C_1-C_6$  haloalkoxy,  $C(O)R^{16}$ ,  $C(O)OR^{16}$  and  $Si(R^6)(R^7)(R^8)$ ;
- $R^6$  and  $R^7$  are independently  $C_1-C_{12}$  alkyl;

- $R^8$  is selected from the group  $C_1$ - $C_{12}$  alkyl and phenyl optionally substituted with 1-3 substituents independently selected from  $W^1$ ;
- $R^9$  is selected from the group H,  $C_1$ - $C_4$  alkyl,  $C_1$ - $C_4$  haloalkyl,  $C_2$ - $C_4$  alkenyl,  $C_2$ - $C_4$  haloalkenyl,  $C_2$ - $C_4$  alkynyl,  $C_2$ - $C_4$  haloalkynyl,  $C(O)R^{16}$ ,  $C(O)OR^{16}$ ,  $C(O)NR^{16}R^{17}$ ,  $S(O)_2NR^{16}R^{17}$ ,  $S(O)_2R^{16}$ , optionally substituted phenyl, and optionally substituted benzyl wherein the phenyl and benzyl substituents are 1-3 substituents independently selected from  $W^1$ ;
- $R^{10}$  is selected from the group H,  $C_1$ - $C_4$  alkyl,  $C(O)R^{16}$  and  $C(O)OR^{16}$ ;
- $R^{11}$  is selected from the group H,  $C_1$ - $C_4$  alkyl,  $C_1$ - $C_4$  haloalkyl and phenyl optionally substituted with 1-3 substituents independently selected from  $W^1$ ;
- or
- $R^{10}$  and  $R^{11}$  are taken together as  $(CH_2)_4$  or  $(CH_2)_5$ ;
- $R^{12}$  is  $C_1$ - $C_{18}$  alkyl;
- $R^{13}$  is  $C_1$ - $C_4$  alkyl;
- $R^{14}$  and  $R^{15}$  are independently  $C_1$ - $C_4$  alkyl; or
- $R^{14}$  and  $R^{15}$  are taken together as  $(CH_2)_4$ ,  $(CH_2)_5$  or  $CH_2CH_2OCH_2CH_2$ ;
- $R^{16}$  is selected from the group  $C_1$ - $C_6$  alkyl,  $C_1$ - $C_6$  haloalkyl,  $C_2$ - $C_6$  alkenyl,  $C_2$ - $C_6$  haloalkenyl,  $C_2$ - $C_6$  alkynyl,  $C_2$ - $C_6$  haloalkynyl,  $C_2$ - $C_6$  alkoxyalkyl,  $C_2$ - $C_6$  alkylthioalkyl,  $C_1$ - $C_6$  nitroalkyl,  $C_2$ - $C_6$  cyanoalkyl,  $C_3$ - $C_8$  alkoxy carbonyl-alkyl,  $C_3$ - $C_6$  cycloalkyl,  $C_3$ - $C_6$  halocycloalkyl,  $C_4$ - $C_7$  cycloalkylalkyl, optionally substituted phenyl and optionally substituted benzyl wherein the phenyl and benzyl substituents are 1-3 substituents independently selected from  $W^1$ ;
- $R^{17}$  is selected from the group H and  $C_1$ - $C_4$  alkyl; or
- $R^{16}$  and  $R^{17}$ , when attached to the same atom, are taken together as  $(CH_2)_4$ ,  $(CH_2)_5$  or  $CH_2CH_2OCH_2CH_2$ , each group optionally substituted with 1-3  $CH_3$ ;
- $R^{18}$  is selected from the group  $C_1$ - $C_3$  alkyl and phenyl optionally substituted with 1-3 substituents independently selected from  $W^1$ ;
- $R^{19}$  is selected from the group halogen, CN,  $NO_2$ ,  $C_1$ - $C_6$  alkyl,  $C_1$ - $C_6$  haloalkyl,  $OR^9$ ,  $C(O)R^{16}$ ,  $C(O)OR^{16}$  and  $Si(R^6)(R^7)(R^8)$ ;
- $R^{20}$  is selected from the group H,  $C_1$ - $C_4$  alkyl,  $C_1$ - $C_4$  haloalkyl,  $C_2$ - $C_4$  alkenyl,  $C_2$ - $C_4$  haloalkenyl,  $C_2$ - $C_4$  alkynyl,  $C_2$ - $C_4$  haloalkynyl,  $C(O)R^{16}$ ,  $C(O)OR^{16}$ ,  $C(O)NR^{16}R^{17}$ ,  $S(O)_2NR^{16}R^{17}$  and  $S(O)_2R^{16}$ ;
- $R^{21}$  is selected from the group  $C_1$ - $C_6$  alkyl,  $C_1$ - $C_6$  haloalkyl,  $C_2$ - $C_6$  alkenyl,  $C_2$ - $C_6$  haloalkenyl,  $C_2$ - $C_6$  alkynyl,  $C_2$ - $C_6$  haloalkynyl,  $C_2$ - $C_6$  alkoxyalkyl,  $C_2$ - $C_6$  alkylthioalkyl,  $C_1$ - $C_6$  nitroalkyl,  $C_2$ - $C_6$  cyanoalkyl,  $C_3$ - $C_8$  alkoxy carbonyl-alkyl,  $C_3$ - $C_6$  cycloalkyl,  $C_3$ - $C_6$  halocycloalkyl and  $C_4$ - $C_7$  cycloalkylalkyl;

M is selected from the group direct bond, S, O, C(O), C(O)-C<sub>1</sub>-C<sub>2</sub> alkylene, C(O)O-C<sub>1</sub>-C<sub>2</sub> alkylene, C<sub>1</sub>-C<sub>4</sub> alkylene, O-C<sub>1</sub>-C<sub>4</sub> alkylene, O-C<sub>2</sub>-C<sub>4</sub> alkenylene and O-C<sub>2</sub>-C<sub>4</sub> alkynylene; provided that when M is O-C<sub>1</sub>-C<sub>4</sub> alkylene, O-C<sub>2</sub>-C<sub>4</sub> alkenylene or O-C<sub>2</sub>-C<sub>4</sub> alkynylene, the oxygen atom is attached to the J ring; and when M is C(O)O-C<sub>1</sub>-C<sub>2</sub> alkylene, the C(O) is attached to the J ring;

J<sup>1</sup> is selected from the group phenyl and naphthyl, each optionally substituted with 1-4 substituents independently selected from R<sup>19</sup>; or J<sup>1</sup> is a 5- or 6-membered aromatic ring, attached through carbon or nitrogen, containing 1 to 4 heteroatoms independently selected from the group 1-4 nitrogen, 0-1 oxygen, and 0-1 sulfur, the ring optionally substituted with 1-4 substituents independently selected from R<sup>19</sup>;

W is selected from the group J, NO<sub>2</sub>, CN, OH, C<sub>1</sub>-C<sub>6</sub> alkoxy and C<sub>1</sub>-C<sub>6</sub> haloalkoxy;

W<sup>1</sup> is selected from the group, halogen, CN, NO<sub>2</sub>, C<sub>1</sub>-C<sub>2</sub> alkyl, C<sub>1</sub>-C<sub>2</sub> haloalkyl, C<sub>1</sub>-C<sub>2</sub> alkoxy, C<sub>1</sub>-C<sub>2</sub> haloalkoxy, C<sub>1</sub>-C<sub>2</sub> alkylthio, C<sub>1</sub>-C<sub>2</sub> haloalkylthio, C<sub>1</sub>-C<sub>2</sub> alkylsulfonyl, and C<sub>1</sub>-C<sub>2</sub> haloalkylsulfonyl;

q is 0, 1 or 2; and

t is 0, 1 or 2.

2. A compound according to Claim 1 wherein:

A is A-1;

Q is selected from the group J, C<sub>1</sub>-C<sub>16</sub> alkyl and C<sub>2</sub>-C<sub>16</sub> alkenyl; and

J is selected from the group phenyl and thienyl, each optionally substituted with 1-3 substituents independently selected from the group R<sup>3</sup>.

3. A compound according to Claim 2 wherein:

Q is J; and

J is phenyl optionally substituted with 1-3 substituents independently selected from the group R<sup>3</sup>.

4. A compound according to Claim 3 wherein:

G<sup>1</sup> is C(O);

R<sup>1</sup> is selected from the group F and Cl in the 2-position;

R<sup>2</sup> is selected from the group H, F and Cl in the 6-position;

R<sup>3</sup> is independently selected from the group, halogen, C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>1</sub>-C<sub>6</sub> haloalkyl, OR<sup>20</sup> and M-J<sup>1</sup>;

R<sup>20</sup> is selected from the group C<sub>1</sub>-C<sub>4</sub> alkyl and C<sub>1</sub>-C<sub>4</sub> haloalkyl; and

J<sup>1</sup> is selected from the group phenyl, thienyl, pyridyl and furyl.

5. A compound according to Claim 4 which is:

*N*-[2-(2,6-difluorophenyl)-4,5-dihydro-4-oxazolyl]-2-fluoro-4-(trifluoromethyl)benzamide.

6. An arthropodicidal composition comprising an arthropodically effective amount of a compound according to Claim 1 and a carrier therefor.
- 5        7. A method for controlling arthropods comprising contacting the arthropods or their environment with an arthropodically effective amount of a compound according to Claim 1.

## INTERNATIONAL SEARCH REPORT

Intern. Appl. No.  
PCT/US 95/00208

A. CLASSIFICATION OF SUBJECT MATTER  
IPC 6 C07D263/28 A01N43/76 C07D263/16 C07D263/18 C07D277/12  
A01N43/78 C07D263/10

According to International Patent Classification (IPC) or to both national classification and IPC

## B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)  
IPC 6 C07D

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)

## C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	JOURNAL OF THE AMERICAN CHEMICAL SOCIETY., vol.86, no.21, 5 November 1964, GASTON, PA US pages 4716 - 4720 SARA GINSBURG ET AL 'Factors affecting the competitive formation of oxazolines and dehydroalanines from serine derivatives' see pages 4717,4719,4720 --- -/--	1

☒ Further documents are listed in the continuation of box C.☒ Patent family members are listed in annex.

## \* Special categories of cited documents :

- \*A\* document defining the general state of the art which is not considered to be of particular relevance
- \*E\* earlier document but published on or after the international filing date
- \*L\* document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)
- \*O\* document referring to an oral disclosure, use, exhibition or other means
- \*P\* document published prior to the international filing date but later than the priority date claimed

- \*T\* later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention
- \*X\* document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone
- \*Y\* document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art.
- \*&\* document member of the same patent family

Date of the actual completion of the international search

23 March 1995

Date of mailing of the international search report

07.04.95

Name and mailing address of the ISA

European Patent Office, P.B. 5818 Patentlaan 2  
NL - 2280 HV Rijswijk  
Tel. (+ 31-70) 340-2040, Tx. 31 651 epo nl,  
Fax: (+ 31-70) 340-3016

Authorized officer

Henry, J

## INTERNATIONAL SEARCH REPORT

Intern. Appl. No.  
PCT/US 95/00208

C(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT		
Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	CHEMICAL ABSTRACTS, vol. 113, no. 11, 10 September 1990, Columbus, Ohio, US; abstract no. 97490x, T. VINOGRADOVA ET AL 'Heterocyclizations of functionally substituted carboxylic acid N-(2,2-dichloroethyl)amides' page 698 ; see abstract & DOKL.AKAD.NAUK UKR.SSR,SER.B:GEOL.KHIM. BIOL NAUKI, no.12, 1987 pages 37 - 39	1-3
Y	EP,A,0 345 775 (YASHIMA CHEMICAL INDUSTRIAL CO.,LTD) 13 December 1989 see claims	1-7
Y	WO,A,93 24470 (E.I. DU PONT DE NEMOURS AND COMPANY) 9 December 1993 see claims	1-7
Y	EP,A,0 432 661 (YASHIMA CHEMICAL INDUSTRIAL CO.,LTD) 19 June 1991 cited in the application see claims	1-7

# INTERNATIONAL SEARCH REPORT

information on patent family members

International Application No

PCT/US 95/00208

Patent document cited in search report	Publication date	Patent family member(s)		Publication date
EP-A-0345775	13-12-89	CN-A, B	1038809	17-01-90
		JP-C-	1731789	17-02-93
		JP-A-	2085268	26-03-90
		JP-B-	4017952	26-03-92
		KR-B-	9408747	26-09-94
		US-A-	4977171	11-12-90
-----				
WO-A-9324470	09-12-93	AU-B-	4252893	30-12-93
-----				
EP-A-0432661	19-06-91	AU-B-	634608	25-02-93
		AU-A-	6782090	13-06-91
		CA-A-	2031766	10-06-91
		CN-A-	1054422	11-09-91
		JP-A-	3232867	16-10-91
		US-A-	5141948	25-08-92
-----				